STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 130241

TO: Rebecca Cook Location: REM-4A65/3C70 Art Unit: 1614 August 20, 2004 Case Serial Number: 09/225499	From: P. Sheppard Location: Remsen Building Phone: (571) 272-2529 sheppard@uspto.gov

Search Notes

2-05-71

1302491

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Rebecca Cook

Serial Number: 09/225499

Date: 9/12/04

Phone: 308-3970

Art Unit: 1614

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Please search composition of claim 19 elements separately + together for same purpose in terms of claims 22, 23 + 24.

search compound of claim 15

Thank you

Rebecca Cook

Rush search authorized

CHRISTOPHER S. F. LOW
SUPERVISORY PATENT EXAMINER
TECHNOLOGY CENTER 1600

STAFF USE ONLY

Date completed: 9/20/04

Searcher: Shyanne

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC
_____ CM-1
_____ Pre-S

Type of Search

_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

Vendors

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_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

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L2          20 S L1
L5        3839 S L1 FUL
L6             STR
L7         226 SEARCH L6  SUB=L5 FUL
L8       26319 S CYCLODEXTR?

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L9        1760 S L7
L10      27247 S L8 OR ?CYCLODEXTR?

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L13          3 S 5-ANDROSTENE-3.BETA.,17.ALPHA.-DIOL?/CN

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L17       1153 S 3(2W)BETA AND 17(W)ALPHA(2W)DIOL?
L18        162 S L17 AND L14

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L19         SEL L13 1- CHEM :      10 TERMS
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L28     106633 S (?TUMOR? OR ?CANCER? OR ?NEOPLAS?)(5N)(?MEDIC? OR ?PHARM? OR
L29        232 S L10 AND L28
L30         85 S L29 AND PD=<APRIL 10, 1997
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L34         15 S L9 AND L28
L35          3 S L34 AND PD=<APRIL 10, 1997
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Structure ⊕ (cyclodextrin or carrier) + 5-androstene-3β,17α-diol

Cyclodextrin + utility + mode of administration

Cyclodextrin + utility + form

Structure + utility

Structure + form

Structure + mode of administration

5-androstene-3β,17α-diol + mode of admin.

+ form

+ utility

5-androstene-3β,17α-diol

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 16:31:09 ON 20 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 20 Aug 2004  VOL 141 ISS 8
FILE LAST UPDATED: 18 Aug 2004  (20040818/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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=>

=> d stat que
L1                STR
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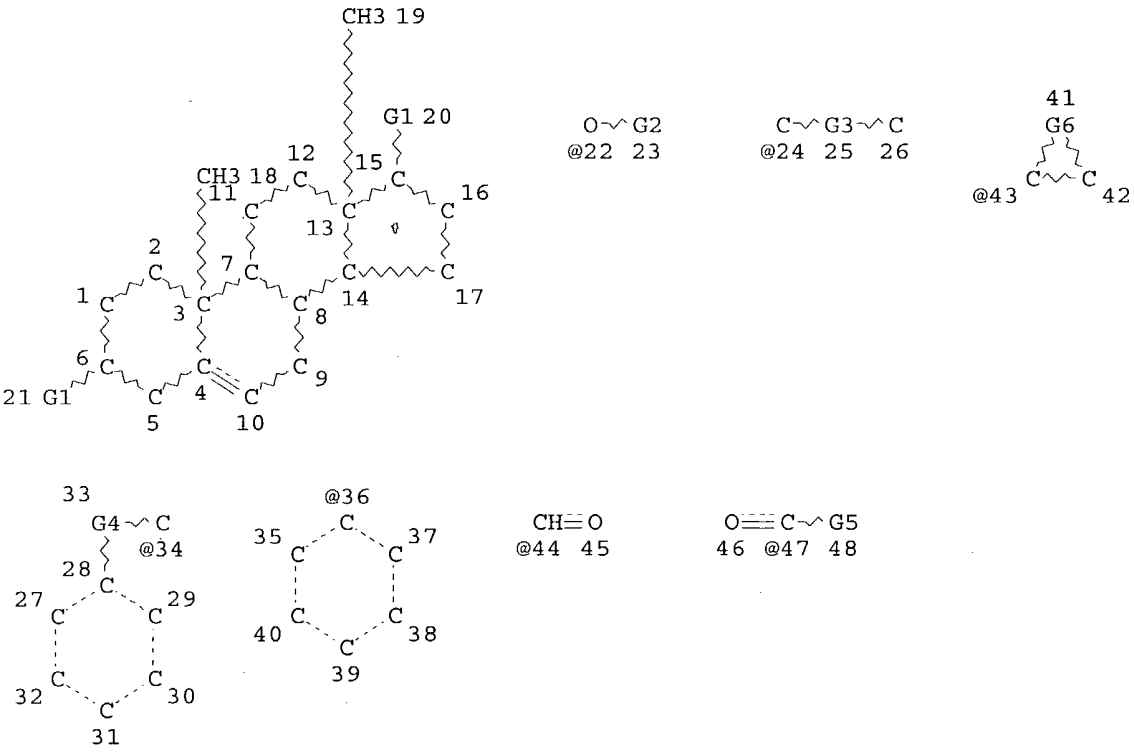


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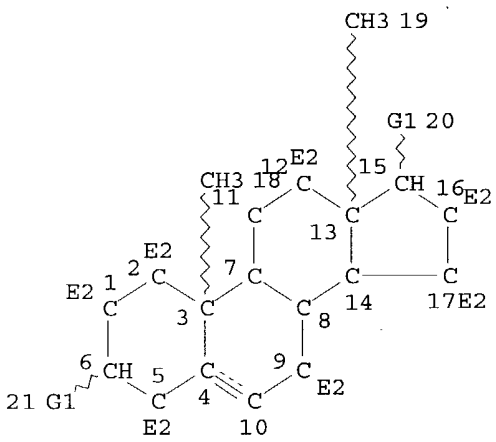

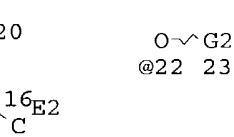

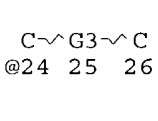

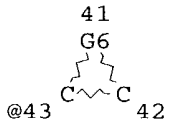

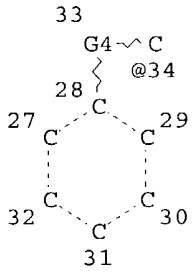

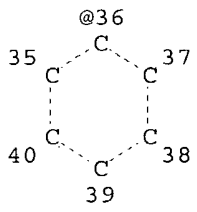

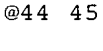

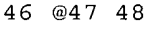

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VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 48

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STEREO ATTRIBUTES: NONE
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L10       27247 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR ?CYCLODEXTR?
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L14        1998 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (5(2W)?ANDROSTENE?)
L17        1153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  3(2W)BETA AND 17(W)ALPHA(2W)DI
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L18         162 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L14
L19             SEL  PLU=ON  L13 1- CHEM :       10 TERMS
L20          42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21         188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22          37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26          99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26


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=> d ibib abs hitstr l27 1-2

L27  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:716010  HCAPLUS
DOCUMENT NUMBER:          137:242464
TITLE:                    Treatment of tumors with steroids that interrupt
                          disturbances in Wnt signaling or provide an
                          angiostatic effect
INVENTOR(S):              Hagstroem, Tomas
PATENT ASSIGNEE(S):       Swed.
SOURCE:                   PCT Int. Appl., 54 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2002072003         A2    20020919    WO 2002-SE443            20020311
     WO 2002072003         A3    20030220
         W:  AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,
             FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
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             AM, AZ, BY, KG
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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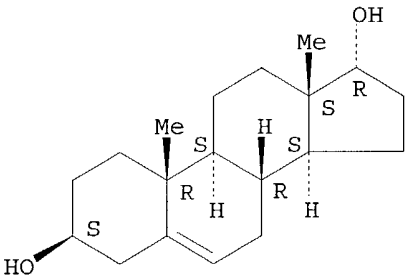
     EP 1379542            A2    20040114    EP 2002-704017           20020311
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004524325         T2    20040812    JP 2002-570963           20020311
PRIORITY APPLN. INFO.:                       SE 2001-857          A   20010313
                                             WO 2002-SE443        W   20020311
OTHER SOURCE(S):          MARPAT 137:242464
AB   The present invention relates to steroid derivs. for use as medicaments.
```

More specifically, the invention also relates to the use of a steroid derivative of **5-androstene**-, 5-pregnenolone or corresponding saturated derivs. (androstane- or pregnane-) in the manufacture of a medicament for the treatment of a benign and/or malignant tumor, which medicament is capable of interrupting disturbances in Wnt-signaling, such as cell-cycle arrest in G1-phase, and/or providing an angiostatic effect. Examples of such steroid derivs. are Δ- **5-androstene**-17α-ol, androstane-17α-ol, or pregnane-17α-ol derivs. In a further aspect, the invention relates to a method of producing a medicament for the treatment of a benign and/or malignant tumor and/or an inflammatory condition comprising the steps of contacting 5-androstane-**3**β α, **17.alpha.-diol** or androstane-**3.beta**.α-diol, an enzyme and a sulfotransferase to provide **5-androstene**-17α-ol- **3**β -sulfate or corresponding androstane derivative (17α-AEDS or 17-AADS); and mixing the 17α-AEDS or 17α-AADS so produced with a suitable **carrier**; whereby a medicament which is capable of acting as a ligand to peroxisome proliferator-activated receptor-γ (PPARγ) is produced. Pharmaceutical compns. containing the steroids plus other nuclear receptor ligands are also claimed.

IT **1963-03-7P, 5-Androstene-3**β **,17**α **-diol**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of steroids that interrupt disturbances in Wnt signaling or provide an angiostatic effect for tumor treatment)
RN 1963-03-7 HCAPLUS
CN Androst-5-ene-3,17-diol, (3β,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **19213-05-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroids that interrupt disturbances in Wnt signaling or provide an angiostatic effect for tumor treatment)
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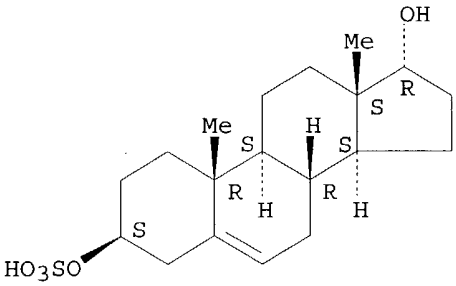
Absolute stereochemistry.



IT **521-17-5P 2099-26-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of steroids that interrupt disturbances in Wnt signaling or provide an angiostatic effect for tumor treatment)
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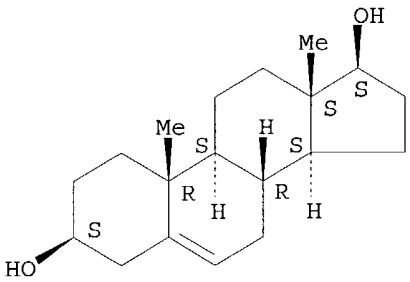
Absolute stereochemistry.



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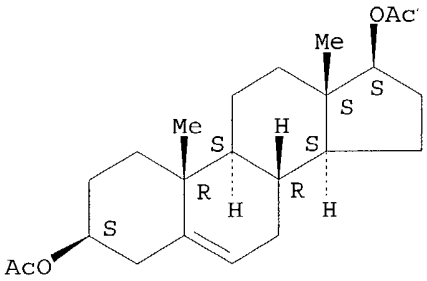
Absolute stereochemistry.



L27 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:684284 HCAPLUS
DOCUMENT NUMBER: 127:322811
TITLE: **5-androstene-3.beta.,17α-diol** as an inhibitor of tumor growth
INVENTOR(S): Loria, Roger M.
PATENT ASSIGNEE(S): Loria, Roger M., USA

SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9737662	A1	19971016	WO 1997-US5849	19970410
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RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2252110	AA	19971016	CA 1997-2252110	19970410
EP 925064	A1	19990630	EP 1997-920244	19970410
EP 925064	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000508643	T2	20000711	JP 1997-536454	19970410
AT 243518	E	20030715	AT 1997-920244	19970410
EP 1362591	A1	20031119	EP 2003-14193	19970410
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PT 925064	T	20031128	PT 1997-920244	19970410
ES 2202606	T3	20040401	ES 1997-920244	19970410

PRIORITY APPLN. INFO.:	US 1996-15042P	P	19960411
	US 1996-18985P	P	19960604
	EP 1997-920244	A3	19970410
	WO 1997-US5849	W	19970410

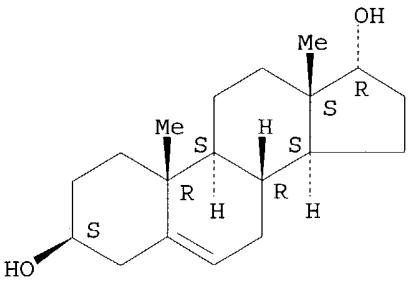
OTHER SOURCE(S): MARPAT 127:322811

AB The invention provides means of accelerating cell aging and programmed cell death in tumor cells by administration of **3.beta.,17α-androstenediol** (αAED) or its ethers or esters. Pharmaceutical compns. containing **5-androstene-3β,17α-diol** and a second anticancer drug also are claimed.

IT **1963-03-7**, **5-Androstene 3β,17α-diol**
1963-03-7D, **5-Androstene 3β,17α-diol**, ethers or esters **7585-39-9D**, β- **Cyclodextrin**, hydroxypropyl-, inclusion compound with **5-androstene-3β,17α-diol**
12619-70-4D, **Cyclodextrin**, inclusion compound with **5-androstene-3β,17α-diol**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**5-androstene-3β,17α-diol** as inhibitor of tumor growth)

RN 1963-03-7 HCAPLUS
CN Androst-5-ene-3,17-diol, (3β,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 1963-03-7 HCAPLUS
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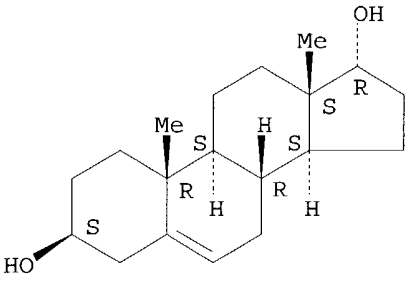
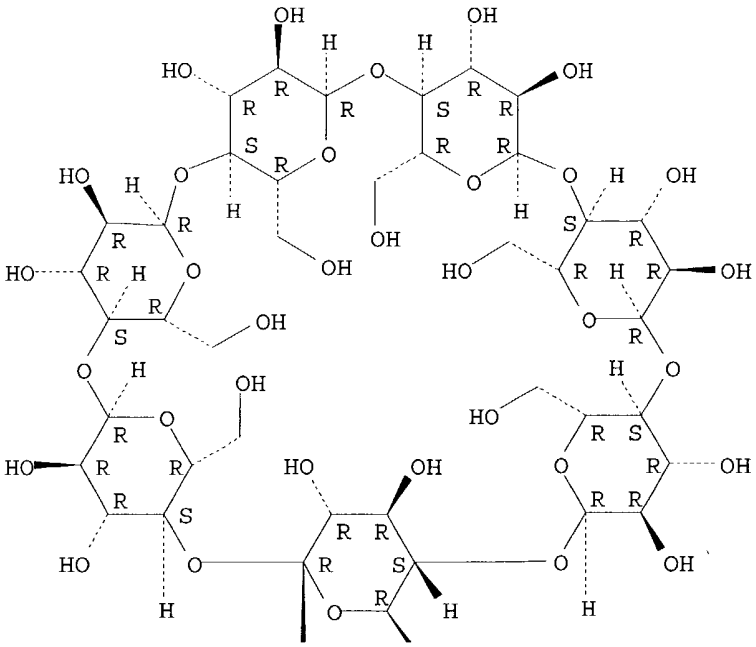
Absolute stereochemistry.



RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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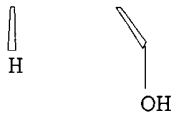

RN 12619-70-4 HCAPLUS
CN Cyclodextrin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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L10 27247 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR ?CYCLODEXTR?
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L30 85 SEA FILE=HCAPLUS ABB=ON PLU=ON L29 AND PD=<APRIL 10, 1997
L31 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L30 AND (?PARENTER? OR ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)

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L31 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:623325 HCAPLUS
DOCUMENT NUMBER: 127:272443
TITLE: Methyl-β- **cyclodextrin** in HL-60 parental and **multidrug**-resistant **cancer** cell lines. Effect on the cytotoxic activity and intracellular accumulation of doxorubicin
AUTHOR(S): Grosse, Pierre Yves; Bressolle, Francoise; Pinguet, Frederic
CORPORATE SOURCE: Department Oncological Pharmacology, Anticancer Center, Montpellier, F-34298, Fr.
SOURCE: Cancer Chemotherapy and Pharmacology (**1997**), 40(6), 489-494
CODEN: CCPHDZ; ISSN: 0344-5704
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The role of methyl-β- **cyclodextrin** (MEBCD) in combination with doxorubicin (DOX) was determined on the cellular proliferation of a sensitive **parenteral** and a **multidrug**-resistant human **cancer** cell line (HL-60 S and HL-60 R) and the effect of MEBCD on DOX intracellular accumulation was studied. The cytotoxicity of DOX at 5 concns. (50-50,000 nM) was evaluated with or without the coadministration of 4 fixed noncytotoxic concns. of MEBCD (100, 200, 500, and 1,000 μM). MEBCD applied at 500 and 1,000 μM combination with DOX potentiated the activity of DOX used alone on both sensitive and multidrug-resistant cell lines; IC50 ratios (IC50 MEBCD-DOX/ IC50DOX) were about 3:4 and 1.6:4 for

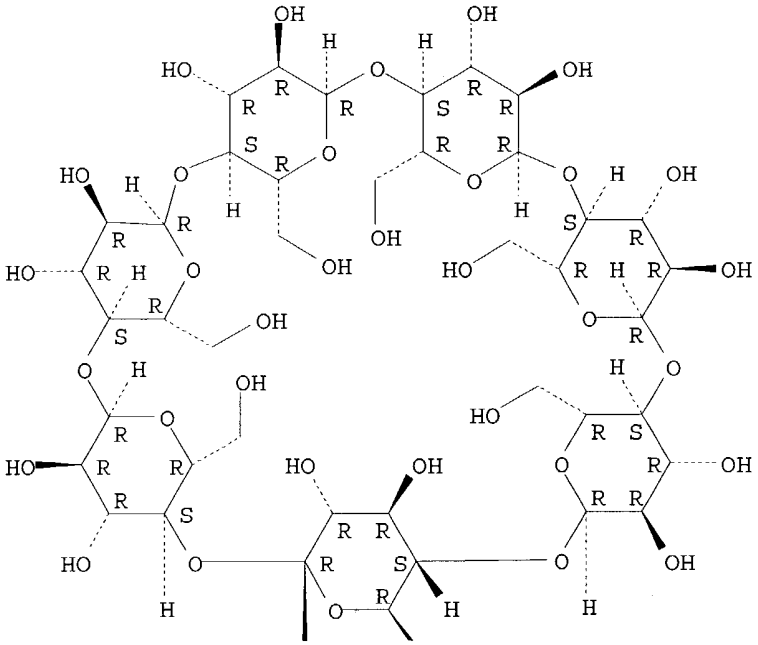
HL-60 S and HL-60 R, resp. Moreover, intracellular DOX accumulation, during 6 h of drug exposure, was about 2-4 + higher for cells treated with MEBCD in combination with DOX than in those treated with DOX alone.

IT **7585-39-9D**, β- **Cyclodextrin**, Me ethers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect on the cytotoxic activity and intracellular accumulation of doxorubicin)

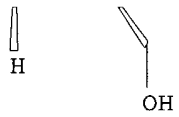
RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L31 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:828999 HCAPLUS
DOCUMENT NUMBER: 123:265928
TITLE: Effect of SBE4-β-CD, a sulfobutyl ether β-**cyclodextrin**, on the stability and solubility of 06-benzylguanine (NSC-637037) in aqueous solutions
AUTHOR(S): Gorecka, Barbara A.; Sanzgiri, Yeshwant D.; Bindra, Dilbir S.; Stella, Valentino J.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry and Center for

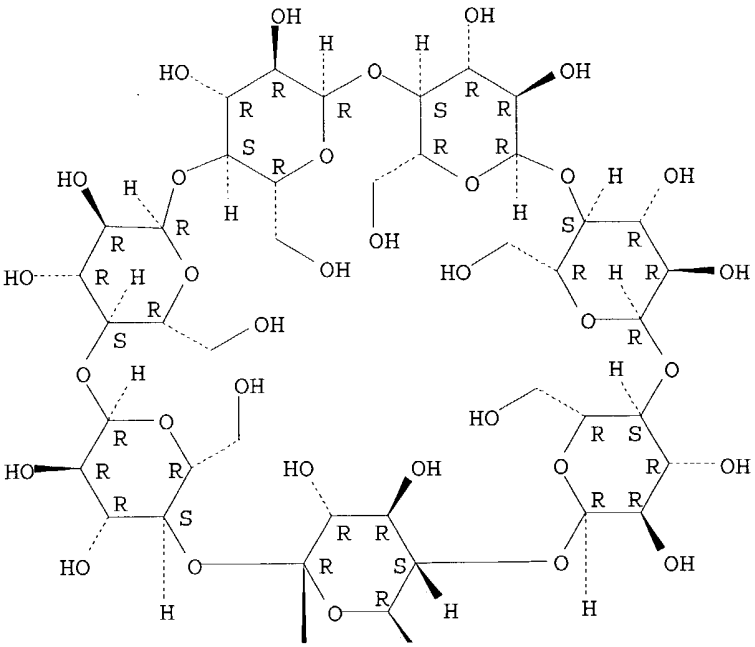
Drug Delivery Research, University of Kansas, Lawrence, KS, 66045, USA
SOURCE: International Journal of Pharmaceutics (**1995**), 125(1), 55-61
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effect of SBE4-β-CD, a sulfobutyl ether derivative of β-**cyclodextrin** on the solubility and aqueous hydrolysis of the **antitumor drug** O6-benzylguanine (BG) was studied. SBE4-β-CD is an apparently **parenterally** safe anionic β- **cyclodextrin** derivative with superior solubilizing properties in water. BG has poor aqueous solubility and undergoes rapid hydrolysis to the poorly water soluble guanine. The stability of a **parenteral** BG formulation was studied after storage at 25, 37 and 50°. Compared to the intrinsic solubility of BG (0.14 mg/mL, 25°), 0.05 M SBE4-β-CD enhanced its solubility to 2.9 mg/mL at 25° and 3.9 mg/mL at 50°. Solubility data yielded binding consts. (Kb) of 565 M-1 at 25° and 342 M-1 at 50°. The solubility of guanine was only slightly enhanced by SBE4-β-CD. Hydrolysis kinetics of BG were studied at 50° over a pH range of 1-9 and the maximum stability was observed at pH 8-8.5. In the presence of 0.05M SBE4-β-CD, hydrolysis was about 9.5-times slower at pH 1, 14.6-times slower at pH 6 and 10-times slower at pH 8. The effect of SBE4-β-CD concentration was studied at pH 2.2 and 4.8 at 50°. Hydrolysis rate consts. decreased with increasing SBE4-β-CD concns. A non-linear regression anal. of this data yielded Kb values of 311 and 270 M-1 at pH 2.2 and 4.8, resp. A formulation containing 2.5 mg/mL of BG and 0.05 M SBE4-β-CD in a pH 8 phosphate buffer was stored in ampoules at 25, 37 and 50°. Guanine production in the samples was measured since its low solubility (2.5 μg/mL) imposed a limitation on the shelf life. Guanine levels exceeded its apparent solubility after 1-2 mo of storage at 50°. At 37° guanine levels were only 1.6 μg/mL after 343 days of storage whereas those at 25° were negligible and below the limit of quantitation (approx. 0.1 μg/mL). The greater stability at room temperature may be attributed to the higher Kb value observed and greater intrinsic stability of BG in the complex.

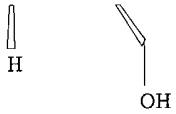
IT **7585-39-9D**, β- **Cyclodextrin**, sulfobutyl ether
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β- **cyclodextrin** sulfobutyl ether effect on stability and solubility of benzylguanine in **parenteral** solns.)
RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L31 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:465430 HCAPLUS
DOCUMENT NUMBER: 121:65430
TITLE: Pharmaceutical evaluation of branched β-**cyclodextrins** as drug carriers in **parenteral** formulation
AUTHOR(S): Uekama, K.; Yamamoto, M.; Irie, T.; Hirayama, F.
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SOURCE: Minutes Int. Symp. Cyclodextrins, 6th (**1992**), 491-6. Editor(s): Hedges, Allan R. Ed. Sante: Paris, Fr.
CODEN: 60BCAL
DOCUMENT TYPE: Conference
LANGUAGE: English

AB The physicochem. and biopharmaceutical properties of the branched β-**cyclodextrins** were evaluated and their inclusion characteristics were compared with those of hydrophilic β- **cyclodextrin** (β-CyD) analogs. Then, advantage of maltosyl-β-**cyclodextrin** (G2-β-CyD) in **parenteral** formulations of prostaglandin E1 (PGE1) and polypeptide **drugs** such as insulin and **tumor** necrosis factor (TNF) was discussed.

IT **7585-39-9**, β- **Cyclodextrin**
RL: BIOL (Biological study)

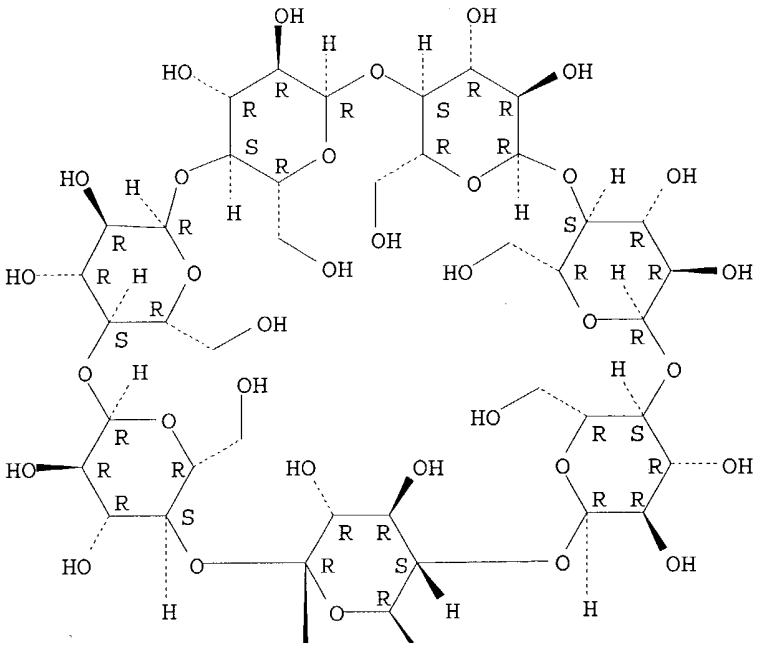
(carrier for **parenteral** formulations, branched compds. in relation to)

RN 7585-39-9 HCAPLUS

CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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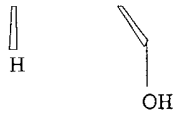


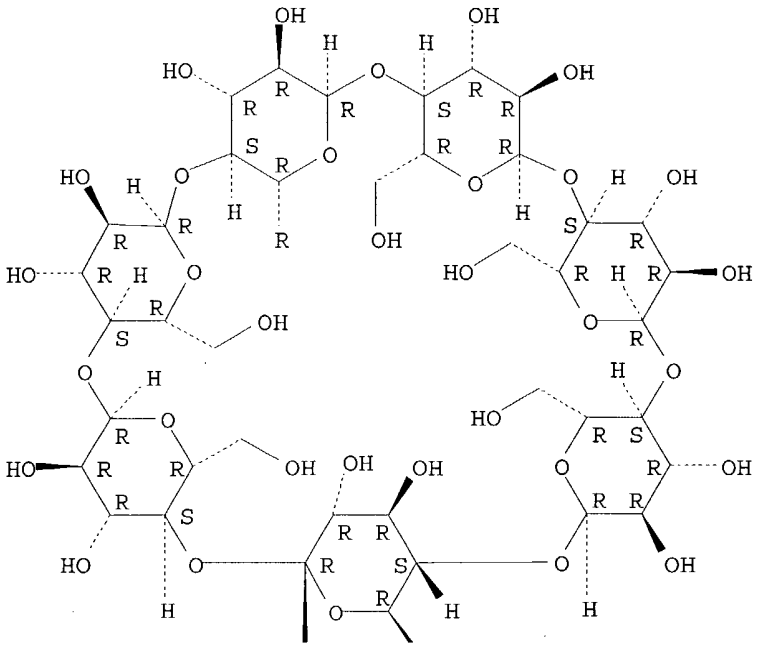
IT **92517-02-7**, Glucosyl β- **cyclodextrin**
104723-60-6, Maltosyl β- **cyclodextrin**
107035-66-5, Dimaltosyl-β- **cyclodextrin**
RL: BIOL (Biological study)
(carrier for **parenteral** formulations, properties of)

RN 92517-02-7 HCAPLUS

CN β-Cyclodextrin, O-α-D-glucopyranosyl-(1→6A)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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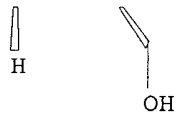
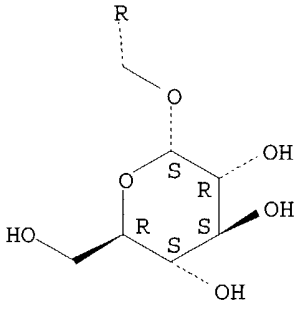
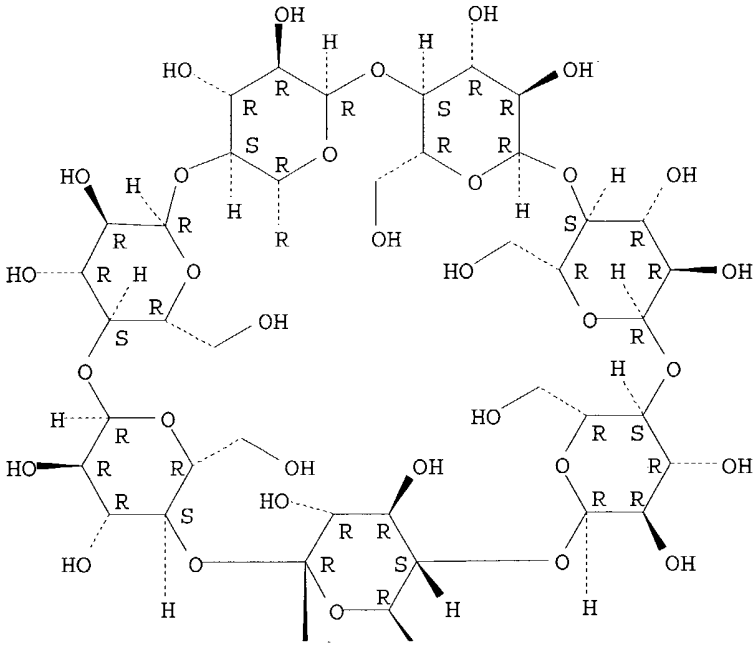




RN 104723-60-6 HCAPLUS
CN β-Cyclodextrin, O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→6A)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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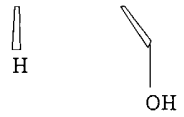
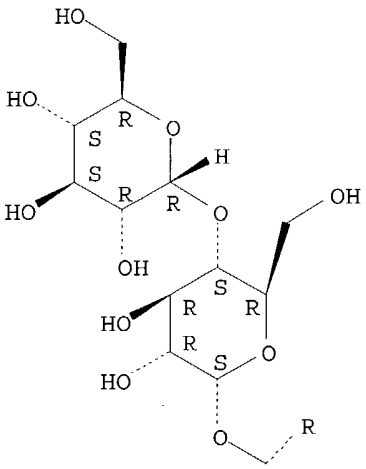


PAGE 3-A

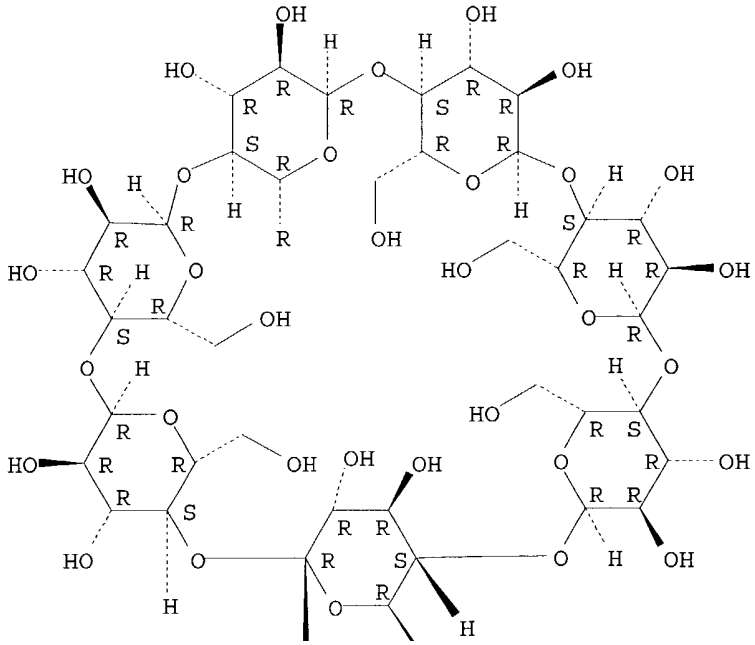


RN 107035-66-5 HCAPLUS
CN β-Cyclodextrin, O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→6A)-O-[O-α-D-glucopyranosyl-(1→4)-

α-D-glucopyranosyl-(1→6D)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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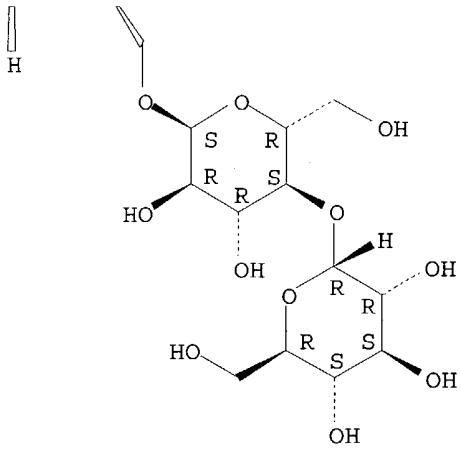

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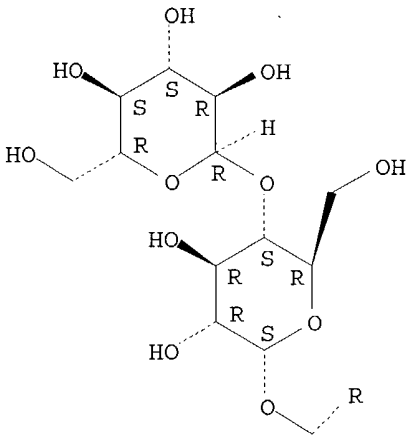


L31 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:503357 HCAPLUS
DOCUMENT NUMBER: 119:103357
TITLE: **Drug** formulations for **parenteral** use in **cancer therapy**
INVENTOR(S): Jalonen, Harry Gosta; Heikkila, Terttu Marita; Jalonen, Hannu Uolevi; Kangas, Lauri Veikko Matti; Lammintausta, Risto Arvo Sakari; Kurkela, Kauko Oiva Antero
PATENT ASSIGNEE(S): Orion-Yhtyma Oy, Finland
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9311757	A1	19930624	WO 1992-FI339	19921210	<--
W: AU, BG, CA, CS, FI, HU, JP, KR, NO, NZ, PL, PT, RO, RU, UA, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9331599	A1	19930719	AU 1993-31599	19921210	<--
AU 667861	B2	19960418			
ZA 9209592	A	19930806	ZA 1992-9592	19921210	<--
EP 616529	A1	19940928	EP 1993-900114	19921210	<--
EP 616529	B1	19970312			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 07501813	T2	19950223	JP 1992-510650	19921210	<--
AT 149828	E	19970315	AT 1993-900114	19921210	<--
NO 9402155	A	19940610	NO 1994-2155	19940609	<--
FI 9402728	A	19940610	FI 1994-2728	19940610	<--
US 5571534	A	19961105	US 1994-244549	19940707	<--

PRIORITY APPLN. INFO.: GB 1991-26209 A 19911210
WO 1992-FI339 A 19921210

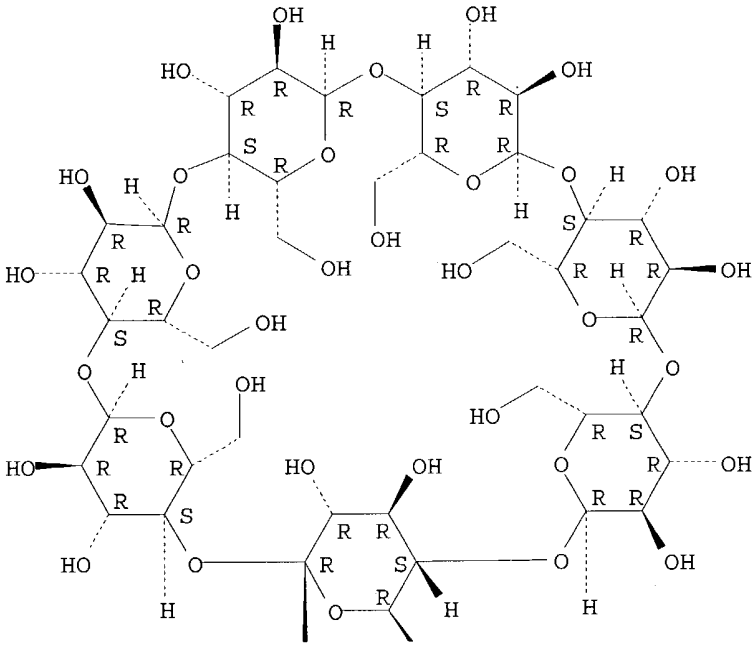
AB A **parenteral** formulation is prepared in the form of an emulsion or liposome containing active agent selected from the group consisting of toremifene, desmethyl toremifene, tamoxifen, and desmethyltamoxifen or a pharmaceutically acceptable nontoxic salt thereof.

IT **7585-39-9D**, β- **Cyclodextrin**, complexes with **antitumor drugs 17465-86-0D**, γ-

Cyclodextrin, complexes with **antitumor drugs**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**pharmaceuticals** containing, **parenteral**)
RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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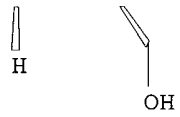
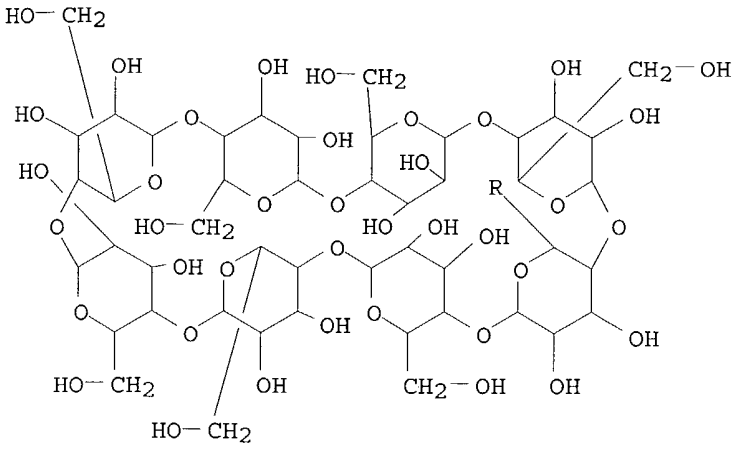
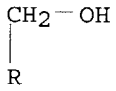


RN 17465-86-0 HCAPLUS
CN γ-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)





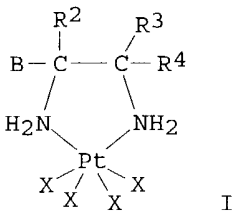
L31 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:51306 HCAPLUS
DOCUMENT NUMBER: 118:51306
TITLE: Platinum complexes with phenyalkylethylenediamine ligands
INVENTOR(S): Brunner, Henri; Hankofer, Peter; Maiterth, Friedrich; Engel, Juergen; Schumacher, Wolfgang; Hilgard, Peter; Voegeli, Rainer
PATENT ASSIGNEE(S): Asta Pharma A.-G., Germany
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 451753	A1	19911016	EP 1991-105514	19910408 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 07112994	A2	19950502	JP 1991-69854	19910402 <--
DE 4111249	A1	19920206	DE 1991-4111249	19910408 <--
NO 9101373	A	19911011	NO 1991-1373	19910409 <--
FI 9101698	A	19911011	FI 1991-1698	19910409 <--
AU 9174244	A1	19911017	AU 1991-74244	19910409 <--
HU 57788	A2	19911230	HU 1991-1145	19910409 <--
ZA 9102630	A	19920129	ZA 1991-2630	19910409 <--
CA 2040123	AA	19911011	CA 1991-2040123	19910410 <--
US 5194644	A	19930316	US 1991-683431	19910410 <--
NO 9204063	A	19911011	NO 1992-4063	19921020 <--
NO 9204064	A	19911011	NO 1992-4064	19921020 <--
US 5238955	A	19930824	US 1992-981475	19921125 <--

PRIORITY APPLN. INFO.: DE 1990-4011520 19900410
NO 1991-1373 19910409
US 1991-683431 19910410

OTHER SOURCE(S): MARPAT 118:51306

GI



I

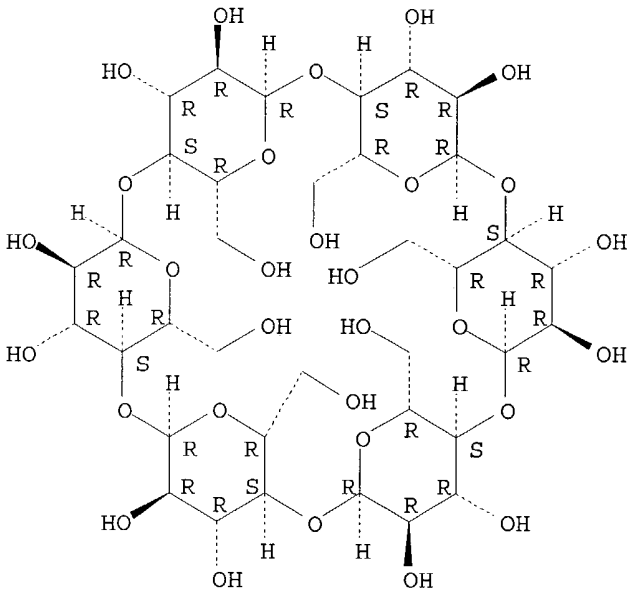
AB The title complexes are described by the general formula I (B = a pH-C1-4 alkyl residue which may optionally have a R1 substituent in the Ph group with R1 = H, a halogen, a trihalomethyl, a C1-6 alkyl, a hydroxy, a C1-6 alkoxy, or a C2-6 alkanoyloxy group, in which B along with the H2N-CR2 segment forms a tetrahydroisoquinoline residue with B = benzyl, R2 = H, and with the CH2 group in the 2 position on the benzyl residue, in which B along with the -CR2 segment forms a tetrahydronaphthyl residue in which 1 of the CH2 groups may be replaced by O, or in which B together with the -CR2 segment forms a decahydronaphthyl or indanyl residue; R2 = H, a C1-6 alkyl, a Ph, or a Ph-C1-4 alkyl group in which the Ph ring may be substituted with a halogen, hydroxy, C1-4 alkoxy, C1-4 alkyl, or C2-6 alkanoyloxy group; R3 and R4 are the same or different groups selected from H, C1-12 alkyl, C3-8 cycloalkyl, and (optionally C1-6 alkoxy-substituted) Ph groups; and X = H2O or a physiolog. acceptable anion; with the restriction that ≥1 of R2, R3, and R4 is not H when B = a substituted or unsubstituted benzyl group. For Pt(II) complexes, 2 of the X's may be absent. Preparation of the ligands entails reduction of selected precursors. Preparation of the complexes entails reaction of a tetrahaloplatinic acid, a tetrahalo-Pt(II) complex, or a Pt(II) halide with the ligand or an acid addition salt of the ligand, optionally oxidizing to produce a Pt(IV) compound, and exchanging any anions for physiolog. acceptable anions. **Therapeutic** agents (e.g., **antitumor drugs**) containing the Pt complexes and methods for preparing them are also described.

IT **10016-20-3**, α- **Cyclodextrin**
RL: RCT (Reactant); RACT (Reactant or reagent)
(in platinum complex-containing therapeutic material preparation)

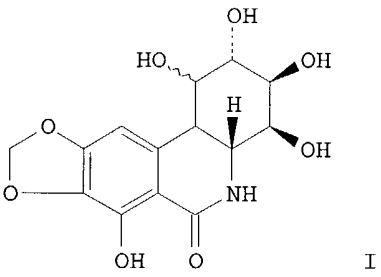
RN 10016-20-3 HCAPLUS

CN α-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:27959 HCAPLUS
DOCUMENT NUMBER: 116:27959
TITLE: Oversaturated solutions of drugs in hydroxypropyl **cyclodextrins**, **parenteral** preparations of pancratistatin
AUTHOR(S): Torres-Labandeira, J. J.; Pitha, J.
CORPORATE SOURCE: NIA, Natl. Inst. Health, Baltimore, MD, 21224, USA
SOURCE: Minutes Int. Symp. Cyclodextrins, 5th (**1990**) , 495-8. Editor(s): Duchene, Dominique. Ed. Sante: Paris, Fr.
CODEN: 57LSAJ
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I

AB The effects of 15 **cyclodextrin** derivs. (electroneutral-polar or nonpolar, cationic, and anionic) and 3 2-hydroxypropyldigitonins on the solubility of pancratistatin (I), an anti-**cancer drug**, were evaluated. The direct solubilization into aqueous solns. was invariably low (0.1-1.2 mg/mL in water). Complexes of I with hydroxypropyl β-**cyclodextrin** were more stable (Kapp 153 M-1) than those with hydroxypropyl γ- **cyclodextrin** (Kapp 108 M-1). When solid

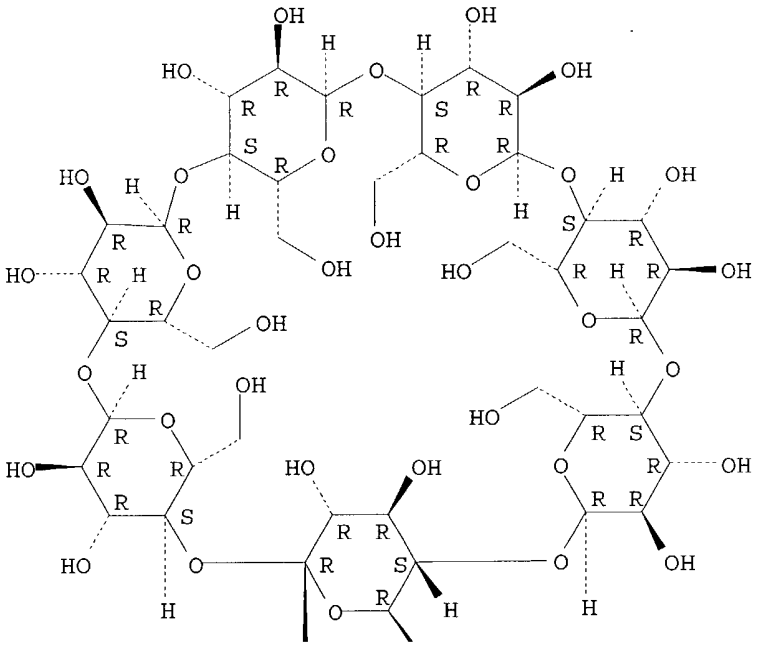
amorphous complexes of I with a large excess (1:50 weight/weight) of hydroxypropyl **cyclodextrins** were made, i.e. both inclusion and interdispersed in the **cyclodextrin** network were operative, these dissolved rapidly forming clear solns. of I of concns. up to 9 mg/mL. These solns. were oversatd. and while those based on hydroxypropyl β-**cyclodextrin** precipitated within an hour, those based on hydroxypropyl γ- **cyclodextrin** were stable when kept in a plastic container, i.e., for at least 4 h, enough for the potential use in **parenteral** prepns.

IT **7585-39-9D**, β- **Cyclodextrin**, hydroxypropyl ether
12619-70-4, **Cyclodextrin 17465-86-0D**, γ-**Cyclodextrin**, hydroxypropyl ether
RL: BIOL (Biological study)
(pancratistatin solubilization by, for oversatd. **parenteral** solns.)

RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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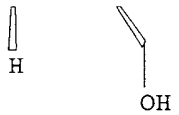
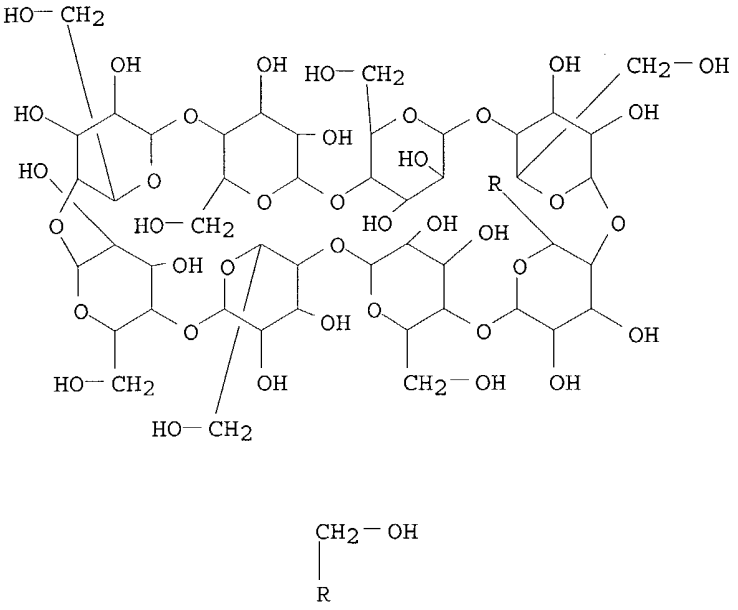


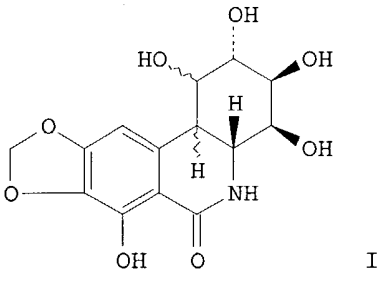
RN 12619-70-4 HCAPLUS
CN Cyclodextrin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 17465-86-0 HCAPLUS

CN γ-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)



L31 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:435645 HCAPLUS
DOCUMENT NUMBER: 115:35645
TITLE: Oversaturated solutions of drug in hydroxypropyl **cyclodextrins**: **parenteral** preparation of pancratistatin
AUTHOR(S): Torres-Labandeira, Juan J.; Davignon, Paul; Pitha, Josef
CORPORATE SOURCE: Health NIA, Natl. Inst., Baltimore, MD, 21224, USA
SOURCE: Journal of Pharmaceutical Sciences (**1991**), 80(4), 384-6
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

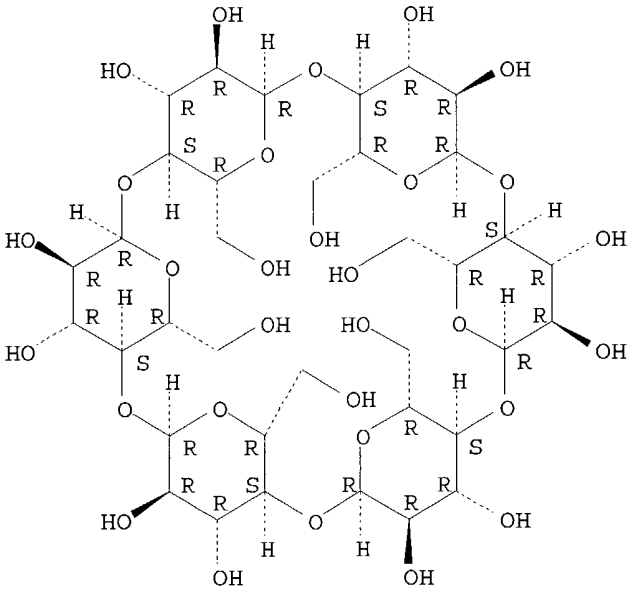
AB The effect of 15 **cyclodextrin** derivs. (polar-electroneutral, cationic, anionic, and lipophilic) and of three 2-hydroxypropyldigitonins on the solubility of pancratistatin (I), an **anticancer drug**, was evaluated. The direct solubilization into aqueous solns. were

invariably low (0.1-1.2 mg/mL compared with 50 μg/mL in water). Complexes of I with hydroxypropyl β- **cyclodextrin** were more stable (Kapp 153 M-1) than those with hydroxypropyl γ- **cyclodextrin** (Kapp 108 M-1). Acceptable prepns. were made by dissoln. of I in a large excess (50+) of hydroxypropyl **cyclodextrin** by ammonia and then freeze drying to ammonia-free prepns. In these prepns., both the inclusion and interdispersion phenomana were operative, and the prepns. dissolved rapidly forming clear solns. of I of concns. up to 9 mg/mL. These solns. were oversatd. and while those based on hydroxypropyl β- **cyclodextrin** precipitated within 1 h, those based on hydroxypropyl γ- **cyclodextrin** were stable for at least 4 h when kept in a plastic container (i.e., time sufficient for potential use in **parenteral** prepns.).

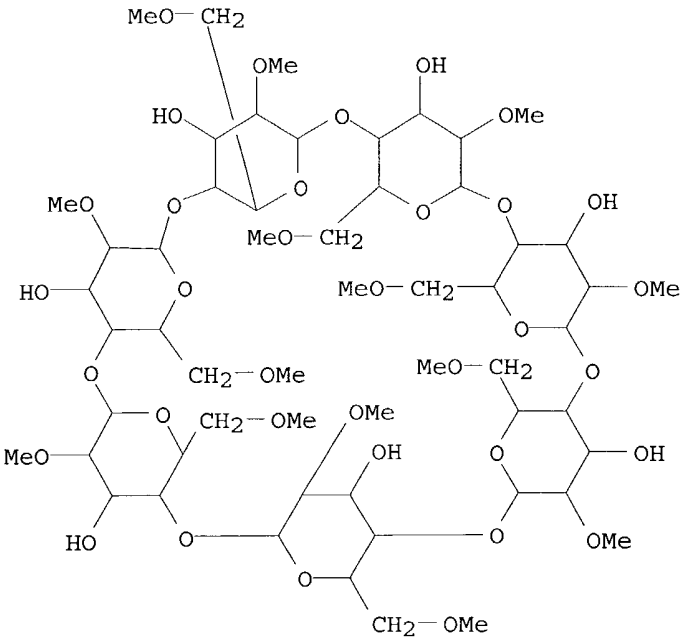
IT **10016-20-3D**, α- **Cyclodextrin**, ethers with diethylaminoethanol **51166-71-3 55216-11-0**, 2,3,6-O-Trimethyl-β- **cyclodextrin 104723-60-6**
RL: BIOL (Biological study)
(pancratistatin solubilization by, for **parenteral** solution)

RN 10016-20-3 HCAPLUS
CN α-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



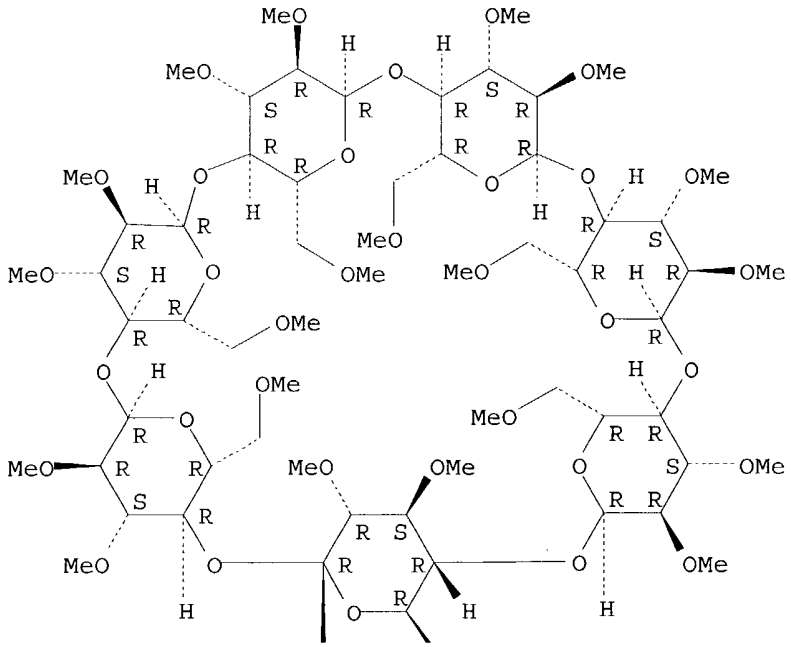
RN 51166-71-3 HCAPLUS
CN β-Cyclodextrin, 2A,2B,2C,2D,2E,2F,2G,6A,6B,6C,6D,6E,6F,6G-tetradeca-O-methyl- (9CI) (CA INDEX NAME)



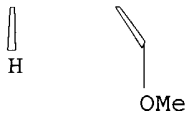
RN 55216-11-0 HCAPLUS
CN β-Cyclodextrin, 2A,2B,2C,2D,2E,2F,2G,3A,3B,3C,3D,3E,3F,3G,6A,6B,6C,6D,6E,6F,6G-heneicosa-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



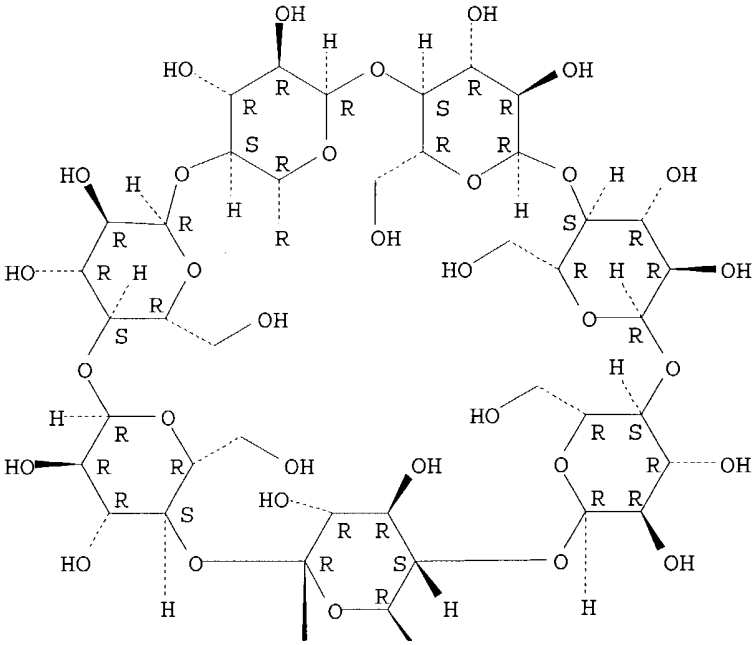
PAGE 2-A



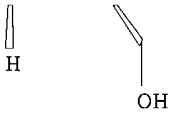
RN 104723-60-6 HCAPLUS
CN β-Cyclodextrin, O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→6A)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



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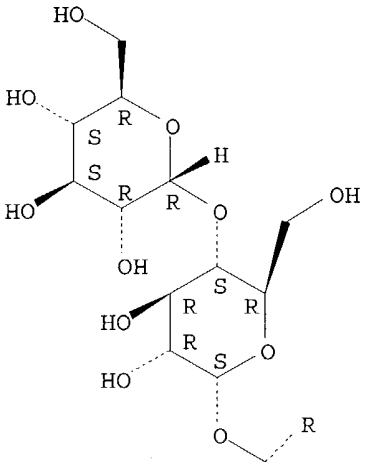
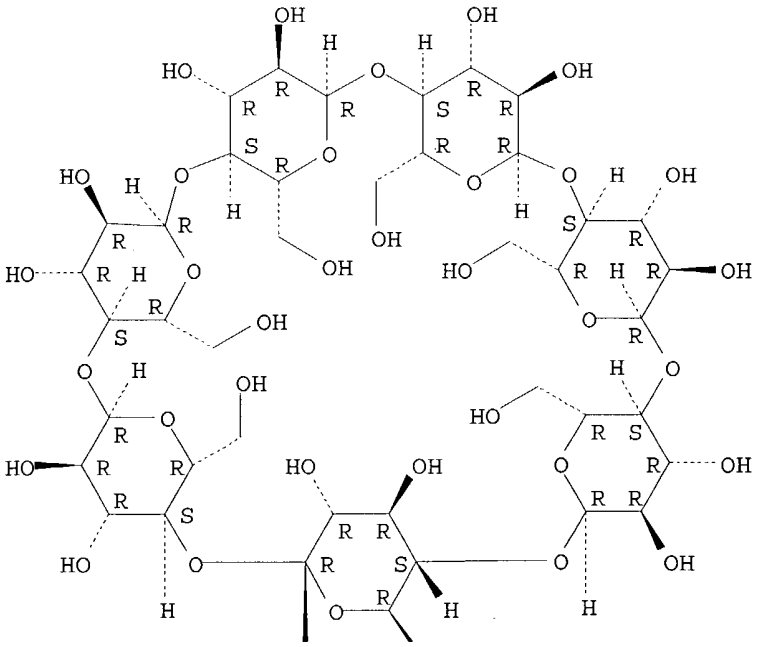


IT **7585-39-9DP**, β- **Cyclodextrin**, ethers with 1,2-propanediol, complexes with pancratistatin **17465-86-0DP**, γ- **Cyclodextrin**, ethers with 1,2-propanediol, complexes with pancratistatin
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and solubility of, for **parenteral** solns.)
RN 7585-39-9 HCAPLUS
CN β-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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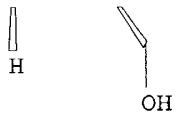
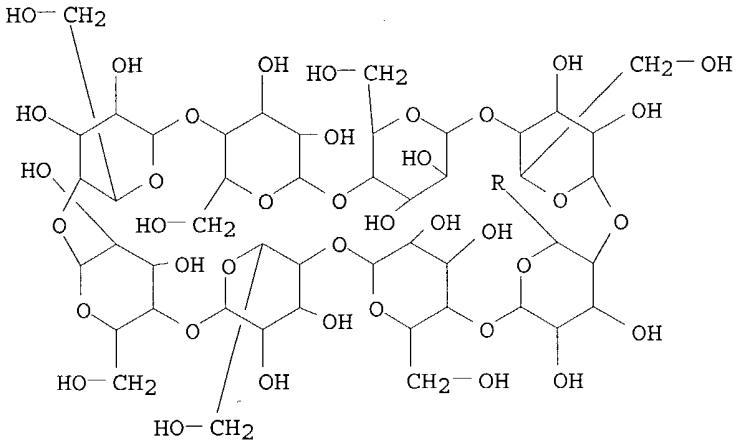
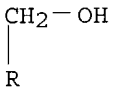


RN 17465-86-0 HCAPLUS
CN γ-Cyclodextrin (8CI, 9CI) (CA INDEX NAME)

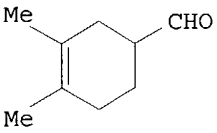




L31 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:444333 HCAPLUS
DOCUMENT NUMBER: 97:44333
TITLE: **Antineoplastic pharmaceuticals**
PATENT ASSIGNEE(S): Institute of Physical and Chemical Research, Japan; Tofu, Mutsuyuki; Kaken Chemical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57058620	A2	19820408	JP 1980-133980	19800926 <--
JP 63044127	B4	19880902		

PRIORITY APPLN. INFO.: JP 1980-133980 19800926
GI



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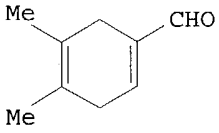


II

AB **Antineoplastic pharmaceuticals** for oral as well as **parenteral** administration are prepared containing 1,2-dimethyl-4-formyl-1-cyclohexene (I) [18022-66-7] or 1,2-dimethyl-4-formyl-1,4-cyclohexadiene (II) [82372-64-3]. Thus, enteric tablets were prepared containing I β- **cyclodextrin** inclusion compound [**82372-66-5**] 100, lactose 98.4, hydroxypropyl cellulose 0.6, Mg stearate 2.0, cellulose acetate phthalate 6.0 and hydroxypropyl Me cellulose phthalate 6.0 g.

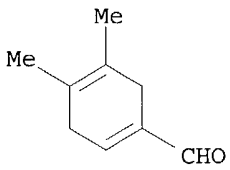
IT **82372-65-4 82372-66-5**
RL: BIOL (Biological study)
(**antineoplastic pharmaceuticals** containing)

RN 82372-65-4 HCAPLUS

CN β-Cyclodextrin, compd. with 4,5-dimethyl-1,4-cyclohexadiene-1-carboxaldehyde (9CI) (CA INDEX NAME)

CM 1

CRN 82372-64-3
CMF C9 H12 O

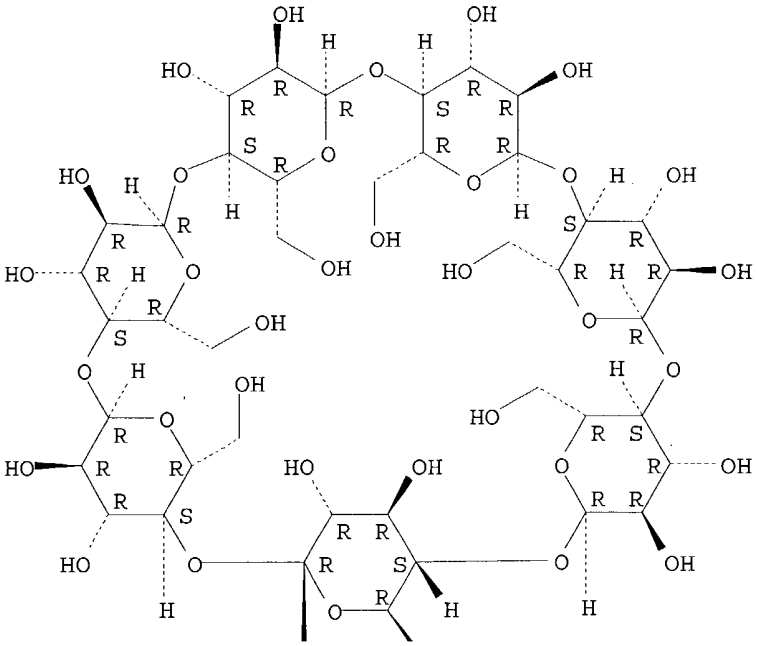


CM 2

CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



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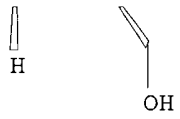
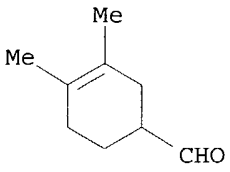


RN 82372-66-5 HCAPLUS
CN β-Cyclodextrin, compd. with 3,4-dimethyl-3-cyclohexene-1-carboxaldehyde (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 18022-66-7
CMF C9 H14 O

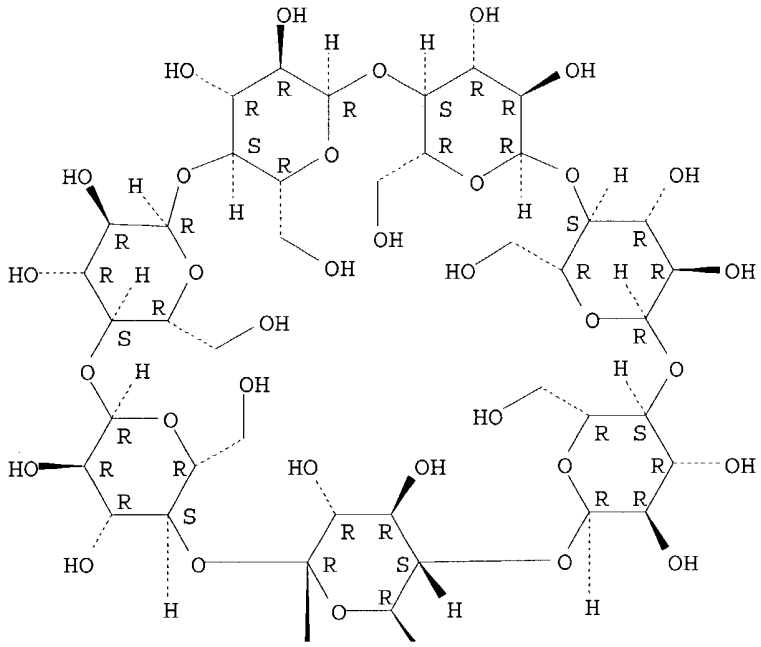


CM 2

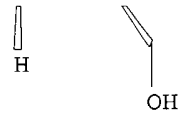
CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



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L10        27247 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR ?CYCLODEXTR?
L28       106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
                 ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
                 ?THERAP?)
L32          706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
                 1997


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L33  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1987:642634  HCAPLUS
DOCUMENT NUMBER:          107:242634
```

TITLE: **Antitumor pharmaceuticals** containing cyclodextrins and/or collagens as stabilizers
INVENTOR(S): Nakanishi, Michio
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62149626	A2	19870703	JP 1985-291537	19851224 <--
PRIORITY APPLN. INFO.:			JP 1985-291537	19851224

AB **Pharmaceuticals** contain **antitumor** agents and at least one compound selected from the group consisting of **cyclodextrins** and collagens. Tegafur 100 and β- **cyclodextrin** 200 parts by weight were dissolved in a mixture of Witepsol E-75 1000 and Witepsol H-15 900 parts by weight and placed in suppository **capsules.**

L33 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:444333 HCAPLUS
DOCUMENT NUMBER: 97:44333
TITLE: **Antineoplastic pharmaceuticals**
PATENT ASSIGNEE(S): Institute of Physical and Chemical Research, Japan; Tofu, Mutsuyuki; Kaken Chemical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57058620	A2	19820408	JP 1980-133980	19800926 <--
JP 63044127	B4	19880902		
PRIORITY APPLN. INFO.:			JP 1980-133980	19800926

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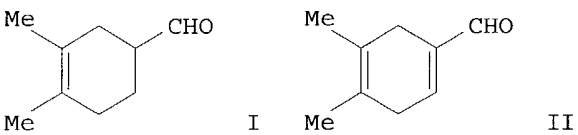

AB **Antineoplastic pharmaceuticals** for oral as well as parenteral administration are prepared containing 1,2-dimethyl-4-formyl-1-cyclohexene (I) [18022-66-7] or 1,2-dimethyl-4-formyl-1,4-cyclohexadiene (II) [82372-64-3]. Thus, enteric **tablets** were prepared containing I β- **cyclodextrin** inclusion compound [**82372-66-5**] 100, lactose 98.4, hydroxypropyl cellulose 0.6, Mg stearate 2.0, cellulose acetate phthalate 6.0 and hydroxypropyl Me cellulose phthalate 6.0 g.

L33 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

CCESSION NUMBER: 1981:180684 HCAPLUS
OCUMENT NUMBER: 94:180684
ITLE: **Antitumor pharmaceuticals** containing benzaldehyde derivatives
ATENT ASSIGNEE(S): Institute of Physical and Chemical Research, Japan; Higashikaze, Mutsuyuki; Kaken Chemical Co., Ltd.
OURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
OCUMENT TYPE: Patent
ANGUAGE: Japanese
AMILY ACC. NUM. COUNT: 1
ATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56012310	A2	19810206	JP 1979-87242	19790710 <--
JP 63052012	B4	19881017		

RIORITY APPLN. INFO.: JP 1979-87242 19790710
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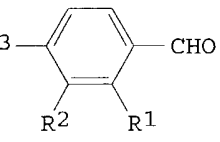

I

B **Anticancer pharmaceuticals** contain I (R1 = alkoxy, OH, Me, H, or halogens; R2 = alkoxy, phenoxy, OH, H or halogens; R3 = alkoxy, phenoxy, methyloxy, benzoyl, chlorophenylsulfonyl, OH, halogens, nitro, amino, pyrrolizinyl, or H). For example, 2-(heptyloxy)benzaldehyde (II) [66049-86-3] was prepared by the treatment of heptyl bromide [629-04-9] with Na salicylaldehyde [3116-83-4] in the presence of EtOH. II was treated with **cyclodextrin** to give a II-β-**cyclodextrin** inclusion compound [**77422-29-8**]. **Tablets** were prepared containing the inclusion compound 100, lactose 99.4, hydroxypropyl cellulose 0.6, Mg stearate 2.0, cellulose acetate phthalate 6.0 and hydroxypropyl Me cellulose phthalate 6.0 g. II at 50 μg/mL totally inhibited the growth of W2K.11 cancer cells in cultures.

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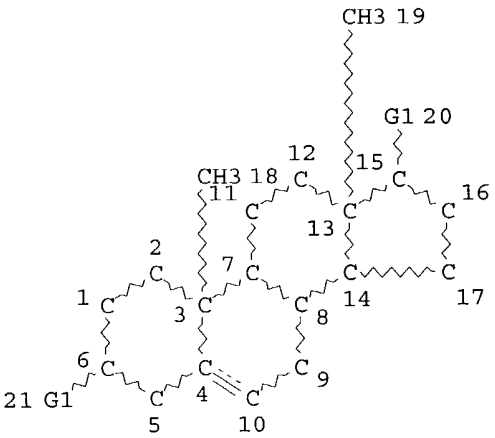
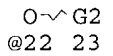
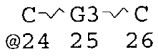
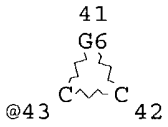
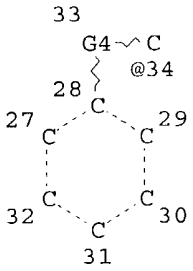
1 STR

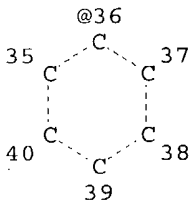


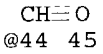


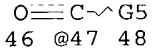












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REP G4=(0-4) C
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REP G6=(1-6) C
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE
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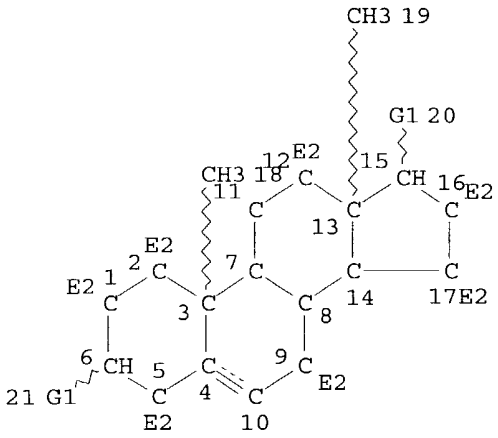
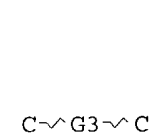
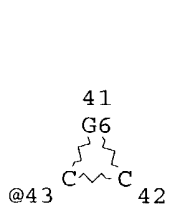
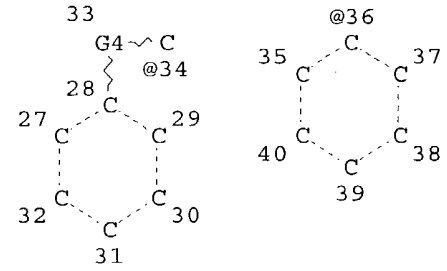
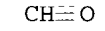
L6 STR

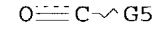








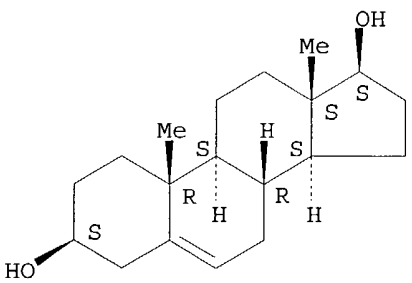





```
VAR G1=OH/22
VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
NODE ATTRIBUTES:
HCOUNT  IS E2     AT   1
HCOUNT  IS E2     AT   2
HCOUNT  IS E2     AT   5
HCOUNT  IS E2     AT   9
HCOUNT  IS E2     AT  12
HCOUNT  IS E2     AT  16
HCOUNT  IS E2     AT  17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  48

STEREO ATTRIBUTES: NONE
L7          226 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8        26319 SEA FILE=REGISTRY ABB=ON  PLU=ON  CYCLODEXTR?
L9         1760 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L10       27247 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR ?CYCLODEXTR?
L13           3 SEA FILE=REGISTRY ABB=ON  PLU=ON  5-ANDROSTENE-3B,17.ALPHA
                .-DIOL?/CN
L14        1998 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (5(2W)?ANDROSTENE?)
L17        1153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  3(2W)BETA AND 17(W)ALPHA(2W)DI
                OL?
L18         162 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L14
```

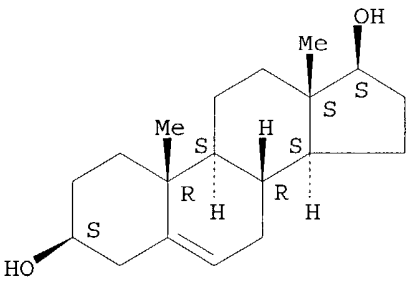
```
L19             SEL  PLU=ON  L13 1- CHEM :       10 TERMS
L20          42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21         188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22          37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26          99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26
L28      106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
               ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
               ?THERAP?)
L29         232 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND L28
L30          85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PD=<APRIL 10, 1997
L31           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND (?PARENTER? OR
               ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32         706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
               1997
L34          15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L28
L35           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND PD=<APRIL 10, 1997
L36           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT (L31 OR L27 OR L33)


=> d ibib abs hitstr l36 1-3

L36  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1958:17505  HCAPLUS
DOCUMENT NUMBER:          52:17505
ORIGINAL REFERENCE NO.:   52:3171e-f
TITLE:                    Testosterone and miscellaneous steroids in the
                          treatment of advanced mammary cancer
AUTHOR(S):                Segaloff, Albert
CORPORATE SOURCE:         Alton Ochsner Med. Foundation, New Orleans, LA
SOURCE:                   Cancer (1957), 10, 808-12
DOCUMENT TYPE:            Journal
LANGUAGE:                 Unavailable
AB   The effects of progesterone, cortisone, testosterone, etiocholane-17β-
     ol-3-one, androstane-3,17-dione, 5-androstene-3β,17β-diol,
     androstane-17β-ol-3-one, 5-androsten-3β-ol-17-one,
     17α-methyl-5-androstene-3β,17β-diol, 17α-methyl-4-
     androsten-17β-ol-3-one, 17α-vinyl-4-androsten-17β-ol-3-
     one, 4-androsten-17α-ol-3-one, and 4-estren-17β-ol-3-one on
     hormonal excretion, their clinical effectiveness, and androgenicity are
     summarized.  Changes in the testosterone mol. that decreased androgenicity
     and the ability to inhibit the excretion of gonad-stimulating hormones
     also decreased the clinical effectiveness of the compds.
IT   521-17-5, Androst-5-ene-3β,17β-diol
        (in mammary cancer treatment)
RN   521-17-5  HCAPLUS
CN   Androst-5-ene-3,17-diol, (3β,17β)- (9CI)  (CA INDEX NAME)
```

Absolute stereochemistry.



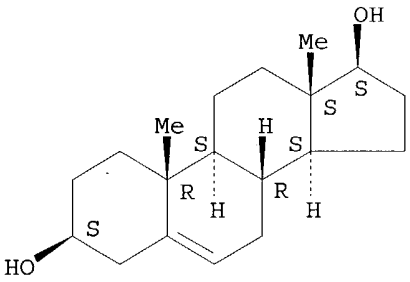
L36 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1954:61538 HCAPLUS
DOCUMENT NUMBER: 48:61538
ORIGINAL REFERENCE NO.: 48:10933a
TITLE: Hormonal **therapy** in **cancer** of the breast. IV. Effect of androstenediol on clinical course and hormonal excretion
AUTHOR(S): Segaloff, Albert; Horwitt, Benjamin N.; Gordon, Douglas; Murison, Paul J.; Schlosser, Joseph V.
CORPORATE SOURCE: Tulane Univ., New Orleans, LA
SOURCE: Obstetrical & Gynecological Survey (**1954**), 9, 458-9
CODEN: OGSUA8; ISSN: 0029-7828
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB See C.A. 47, 2381i.
IT **521-17-5**, Androstenediol
(**cancer therapy** with)
RN 521-17-5 HCAPLUS
CN Androst-5-ene-3,17-diol, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



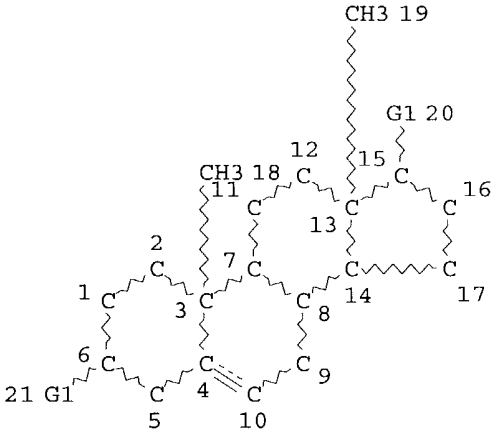
L36 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1953:13481 HCAPLUS
DOCUMENT NUMBER: 47:13481
ORIGINAL REFERENCE NO.: 47:2381i,2382a
TITLE: Hormonal **therapy** in **cancer** of the breast. IV. Effect of androstenediol on clinical course and hormonal excretion
AUTHOR(S): Segaloff, Albert; Horwitt, Benjamin N.; Gordon, Douglas; Murison, Paul J.; Schlosser, Joseph V.
CORPORATE SOURCE: Tulane Univ. Med. School, New Orleans, LA
SOURCE: Cancer (**1952**), 5, 1179-81
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 46, 6275c. Of 21 patients treated with intramuscular injections of Δ5-androstene-3β,17β-diol, none showed regression of lesions. The therapy caused an increase in the urinary 17-ketosteroids, formaldehydogenic corticoids, and uric acid excretion. There were decreases in urinary creatinine and ovarian-hyperemia gonadotropins. Several of the patients showed lower gonad-stimulating hormone excretion than usual for their age and endocrine status.
IT **521-17-5**, 5-Androstene-3β,17β-diol
(effect on mammary cancer)
RN 521-17-5 HCAPLUS
CN Androst-5-ene-3,17-diol, (3β,17β)- (9CI) (CA INDEX NAME)

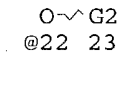
Absolute stereochemistry.

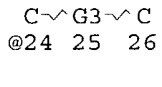


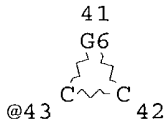
=> □

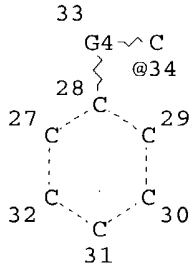
=> d stat que l38
L1 STR

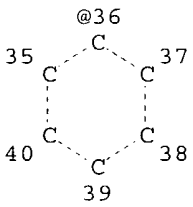


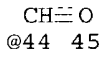


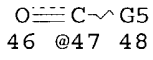










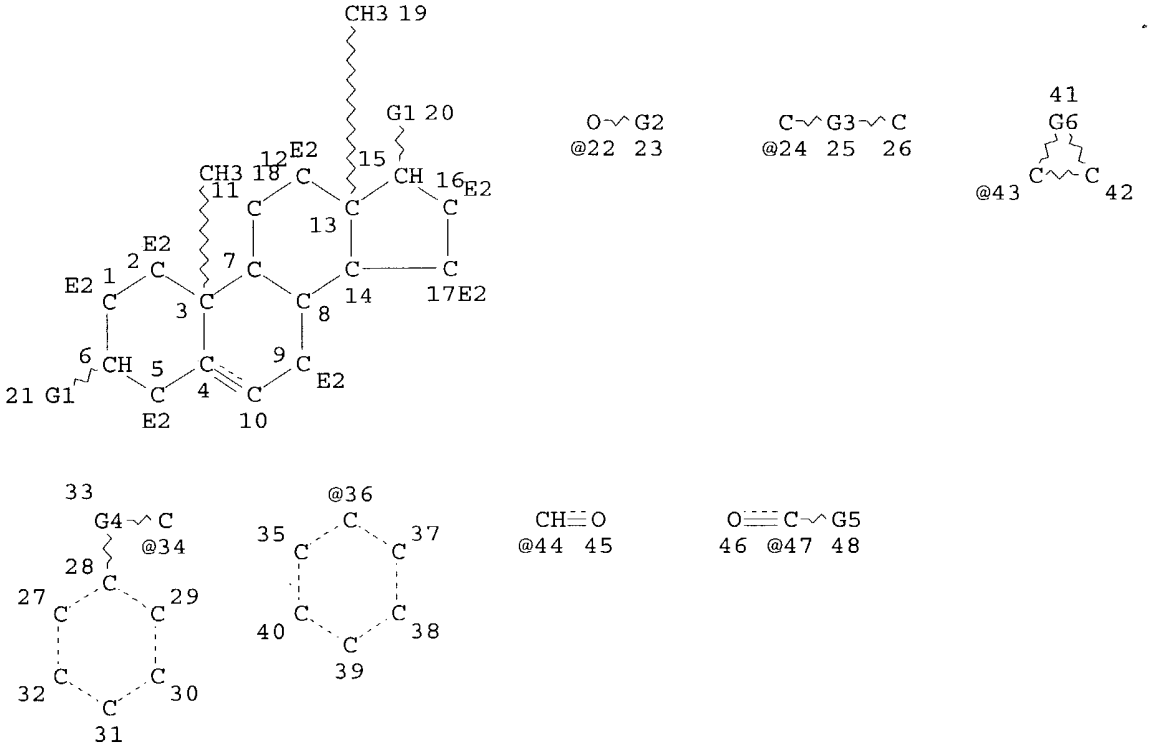


VAR G1=OH/22
VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE
L5 3839 SEA FILE=REGISTRY SSS FUL L1
L6 STR



VAR G1=OH/22
VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
NODE ATTRIBUTES:
HCOUNT IS E2 AT 1
HCOUNT IS E2 AT 2
HCOUNT IS E2 AT 5
HCOUNT IS E2 AT 9
HCOUNT IS E2 AT 12
HCOUNT IS E2 AT 16
HCOUNT IS E2 AT 17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE
L7 226 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8 26319 SEA FILE=REGISTRY ABB=ON PLU=ON CYCLODEXTR?
L9 1760 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
L10 27247 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR ?CYCLODEXTR?
L13 3 SEA FILE=REGISTRY ABB=ON PLU=ON 5-ANDROSTENE-3B,17.ALPHA
.-DIOL?/CN

```
L14         1998 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (5(2W)?ANDROSTENE?)
L17         1153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  3(2W)BETA AND 17(W)ALPHA(2W)DI
                 OL?
L18          162 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L14
L19              SEL  PLU=ON  L13 1- CHEM :      10 TERMS
L20           42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21          188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22           37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26           99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26
L28       106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
                 ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
                 ?THERAP?)
L29          232 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND L28
L30           85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PD=<APRIL 10, 1997
L31            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND (?PARENTER? OR
                 ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32          706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
                 1997
L34           15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L28
L35            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND PD=<APRIL 10, 1997
L36            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT (L31 OR L27 OR L33)
L37            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9(L)(TABLET OR CAPSULE)
L38            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 NOT (L31 OR L27 OR L33 OR
                 L36)


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=> d ibib abs hitstr l38 1

L38  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1989:540509  HCAPLUS
DOCUMENT NUMBER:          111:140509
TITLE:                    Antidiabetics containing hormones
INVENTOR(S):              Nishihata, Ryoji; Mikami, Hiroteru; Numazawa, Hiromi;
                          Namura, Shogo; Inoue, Akifumi; Yoneda, Ryozo
PATENT ASSIGNEE(S):       Nippon Zoki Pharmaceutical Co., Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 4 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     JP 63290828           A2    19881128    JP 1987-126328          19870522
     JP 2542846            B2    19961009
PRIORITY APPLN. INFO.:                       JP 1987-126328          19870522
AB   A pharmaceutical for treatment of diabetes contains pregnenolone,
     androstenedione, androstenediol, testosterone, estrone, and dried powdered
     thyroid tissues.  A tablet was prepared consisting of pregnenolone 1.0,
     androstenedione 1.0, androstenediol 0.5, testosterone 0.1, estrone 0.005,
     dried thyroid powder 7.5, and other excipients to 400 mg.  Pharmacol.
     studies with mice are shown.
IT   521-17-5, Androstenediol
     RL: BIOL (Biological study)
        (antidiabetic tablets containing)
RN   521-17-5  HCAPLUS
```
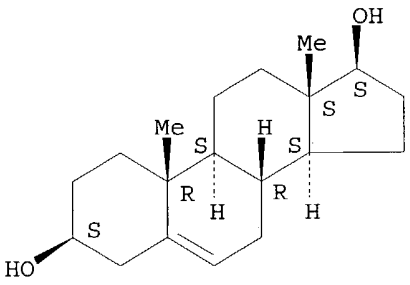

CN Androst-5-ene-3,17-diol, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> □

=> d stat que l41 nos

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L1              STR
L5           3839 SEA FILE=REGISTRY SSS FUL L1
L6              STR
L7            226 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8          26319 SEA FILE=REGISTRY ABB=ON  PLU=ON  CYCLODEXTR?
L9           1760 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L10         27247 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR ?CYCLODEXTR?
L13             3 SEA FILE=REGISTRY ABB=ON  PLU=ON  5-ANDROSTENE-3B,17.ALPHA
                .-DIOL?/CN
L14          1998 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (5(2W)?ANDROSTENE?)
L17          1153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  3(2W)BETA AND 17(W)ALPHA(2W)DI
                OL?
L18           162 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L14
L19               SEL  PLU=ON  L13 1- CHEM :       10 TERMS
L20            42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21           188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22            37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26            99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27             2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26
L28        106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
                ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
                ?THERAP?)
L29           232 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND L28
L30            85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PD=<APRIL 10, 1997
L31             8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND (?PARENTER? OR
                ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32           706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33             3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
                1997
L34            15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L28
L35             3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND PD=<APRIL 10, 1997
L36             3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT (L31 OR L27 OR L33)
L37             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9(L)(TABLET OR CAPSULE)
L38             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 NOT (L31 OR L27 OR L33 OR
                L36)
L39            13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (?PARENTER? OR
                ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)
L40            12 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L39 NOT (L31 OR L27 OR L33 OR
                L36 OR L38)
L41             2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40 AND PD=<APRIL 10, 1997
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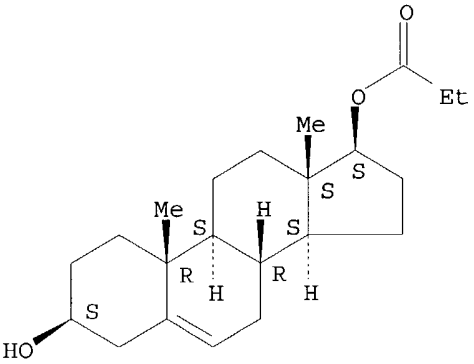
=> d ibib abs hitstr l41 1-2

L41 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1953:22845 HCAPLUS
DOCUMENT NUMBER: 47:22845
ORIGINAL REFERENCE NO.: 47:3954f-g
TITLE: Urinary excretion of phenol steroids and 3-hydroxy steroids after administration of androstenediol
AUTHOR(S): Principe, S.; Gasparri, F.
CORPORATE SOURCE: Univ. Florence, Italy
SOURCE: Rivista di Ostetricia e Ginecologia (**1951**), 6, 299-303
CODEN: ROGNAG; ISSN: 0394-977X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The **parenteral** administration of 25 mg. androstenediol propionate to 20 eucrinic women caused an excretion of phenol steroids and 3-hydroxy steroids inversely proportional to their basal value.
IT **38859-47-1**, Androstenediol, propionate
(effect on phenol steroids and 3-hydroxy steroids in urine)
RN 38859-47-1 HCAPLUS
CN Androst-5-ene-3,17-diol, 17-propanoate, (3β,17β)- (9CI) (CA INDEX NAME)

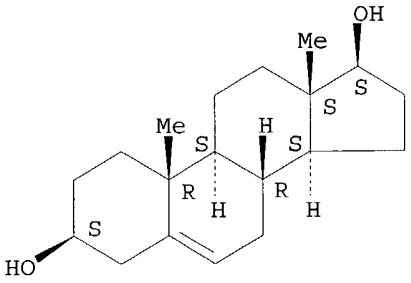
Absolute stereochemistry.



L41 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1952:62481 HCAPLUS
DOCUMENT NUMBER: 46:62481
ORIGINAL REFERENCE NO.: 46:10461b-c
TITLE: Morphological and functional changes produced by high doses of androstenediol on the gonads and hypophysis in the adult guinea pig. II. Testicle
AUTHOR(S): Larizza, Paolo; Chirico, Giuseppe
CORPORATE SOURCE: Univ. Pavia, Italy
SOURCE: Archivio per le Scienze Mediche (**1952**), 94, 109-15
CODEN: ASMEAU; ISSN: 0004-0312
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB **Parenteral** administration of large doses of androstenediol dipropionate in oil produced in the guinea pig on long treatment changes in the testicle showing inhibition of the tubules and the interstitial tissue.
IT **521-17-5**, Androstenediol

(effect on gonads and hypophysis)
RN 521-17-5 HCAPLUS
CN Androst-5-ene-3,17-diol, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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=> d stat que l43 nos

L1		STR
L5	3839	SEA FILE=REGISTRY SSS FUL L1
L6		STR
L7	226	SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8	26319	SEA FILE=REGISTRY ABB=ON PLU=ON CYCLODEXTR?
L9	1760	SEA FILE=HCAPLUS ABB=ON PLU=ON L7
L10	27247	SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR ?CYCLODEXTR?
L13	3	SEA FILE=REGISTRY ABB=ON PLU=ON 5-ANDROSTENE-3B,17.ALPHA .-DIOL?/CN
L14	1998	SEA FILE=HCAPLUS ABB=ON PLU=ON (5(2W)?ANDROSTENE?)
L17	1153	SEA FILE=HCAPLUS ABB=ON PLU=ON 3(2W)BETA AND 17(W)ALPHA(2W)DI OL?
L18	162	SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND L14
L19		SEL PLU=ON L13 1- CHEM : 10 TERMS
L20	42	SEA FILE=HCAPLUS ABB=ON PLU=ON L19
L21	188	SEA FILE=HCAPLUS ABB=ON PLU=ON L20 OR L18
L22	37	SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND (L10 OR CARRIER)
L26	99	SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L21
L27	2	SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND L26
L28	106633	SEA FILE=HCAPLUS ABB=ON PLU=ON (?TUMOR? OR ?CANCER? OR ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR ?THERAP?)
L29	232	SEA FILE=HCAPLUS ABB=ON PLU=ON L10 AND L28
L30	85	SEA FILE=HCAPLUS ABB=ON PLU=ON L29 AND PD=<APRIL 10, 1997
L31	8	SEA FILE=HCAPLUS ABB=ON PLU=ON L30 AND (?PARENTER? OR ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32	706	SEA FILE=HCAPLUS ABB=ON PLU=ON L10(L)(TABLET OR CAPSULE)
L33	3	SEA FILE=HCAPLUS ABB=ON PLU=ON L28 AND L32 AND PD=<APRIL 10, 1997
L34	15	SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L28
L35	3	SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND PD=<APRIL 10, 1997
L36	3	SEA FILE=HCAPLUS ABB=ON PLU=ON L35 NOT (L31 OR L27 OR L33)
L37	1	SEA FILE=HCAPLUS ABB=ON PLU=ON L9(L)(TABLET OR CAPSULE)
L38	1	SEA FILE=HCAPLUS ABB=ON PLU=ON L37 NOT (L31 OR L27 OR L33 OR L36)
L39	13	SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND (?PARENTER? OR ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)
L40	12	SEA FILE=HCAPLUS ABB=ON PLU=ON L39 NOT (L31 OR L27 OR L33 OR L36 OR L38)

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L42          175 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L21 AND PD=<APRIL 10, 1997
L43            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L42 AND (?PARENTER? OR
                 ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)) NOT (L31
                 OR L27 OR L33 OR L36 OR L38 OR L40)


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=> d ibib abs hitstr l43 1-3

L43  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1967:95307  HCAPLUS
DOCUMENT NUMBER:          66:95307
TITLE:                    7α-Methyl- and 2α,7α-
                          dimethylandrostene derivatives
PATENT ASSIGNEE(S):       Upjohn Co.
SOURCE:                   Neth. Appl., 51 pp.
                          CODEN: NAXXAN
DOCUMENT TYPE:            Patent
LANGUAGE:                 Dutch
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     ---------------      ----   --------    --------------------   --------
     NL 6604607                  19661010                                  <--
     DE 1593613                              DE
     FR 1492868                              FR
     FR 5612                                 FR
     GB 1146991                              GB
     GB 1146992                              GB
     US 3380886                  19680000    US                             <--
PRIORITY APPLN. INFO.:                       US                     19650407
AB   Some of the information of Neth. Appl. 6,604,702 is given.  The following
     addnl. information is presented.  7α,17α-Dimethyl-3,5-
     androstadiene-3,17α -diol
     3,17-diacetate (0.5 g.) containing some 7β-epimer in 15 cc. 95% EtOH
     treated about 18 hrs. at room temperature with 0.5 g. NaBH4 in 15 cc. 95% EtOH
     gave a mixture of 7α,17α-dimethyl-  5-androstene
     -3β ,17β -diol 17-acetate (I) and
     its 7β-epimer.  I (0.38 g.) in 20 cc. tetrahydrofuran treated 24 hrs.
     at room temperature with stirring with 1 g. LiAlH4 gave 160 mg.
     7α,17α-dimethyl-  5.alpha.-androstene-
     3β ,17β -diol, m. 193-4°
     (Me2CO-Skellysolve B).  7α-Methyl-3,5-androstadiene- 3,17.
     beta.-diol 3,17-diacetate (20 g.) in 300 cc. 95% EtOH stirred 16
     hrs. under N with 10 g. NaBH4 in 250 cc. 95% EtOH yielded 1.6 g.
     7α-methyl- 5-androstene-3.beta
     .,17β -diol (II), m. 213-16° (Me2CO), [α]D
     -124° (dioxane).  17-Acetate (III) (1.8 g.) of II stirred 16 hrs.
     in 10 cc. dihydropyran and 50 cc. Et2O with 100 mg. p-MeC6H4SO3H, and the
     resulting 3-tetrahydropyranyl ether refluxed about 1.5 hrs. with 100 cc.
     5% K2CO3 in 4:1 MeOH-H2O gave the 3-tetrahydropyranyl ether (IV) of II.
     The IV in 10 cc. C5H5N treated 16 hrs. at room temperature with 2 g. CrO3 in 20
     cc. C5H5N gave the 3-tetrahydropyranyl ether of 7α-methyl-5-
     androsten-3β -ol-17-one (V) which treated
     overnight in 20 cc. Me2CO with 2 cc. 3N HCl gave V.  V (1 g.) treated 16
     hrs. at room temperature with 1 cc. each C5H5N and Ac2O gave the 3,17-diacetate
     of V, m. 104-6° (MeOH).  The compds. described are useful anabolic,
     antiandrogenic, antiestrogenic, and hypocholesteremic agents.  Examples
     for their formulation in tablets, gelatin capsules, and aqueous suspensions
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for oral and **parenteral** applications are given.

43 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
CCESSION NUMBER: 1946:27994 HCAPLUS
OCUMENT NUMBER: 40:27994
RIGINAL REFERENCE NO.: 40:5495f-h
ITLE: Isolation of androsterone, etiocholan-3(α)-ol-17-one, and .DELTA.**5**-**androstene**-**3**(β),**17**(.**alpha.**)-**diol** from the urine after administration of dehydroisoandrosterone to a man
UTHOR(S): Mason, Harold L.; Kepler, Edwin J.
ORPORATE SOURCE: Mayo Clinic, Rochester, MN
OURCE: Journal of Biological Chemistry (**1945**), 160, 255-64
CODEN: JBCHA3; ISSN: 0021-9258
OCUMENT TYPE: Journal
ANGUAGE: Unavailable
B cf. C.A. 40, 3517.6. The urinary steroids formed during the administration of dehydroisoandrosterone (I), which is present in relatively large amts. in the urine of patients with tumors of the adrenal cortex, to a subject were determined in an effort to elucidate the metabolism of I. After **parenteral** administration of 1090 mg. of I acetate to a man with anterior-pituitary insufficiency, 79 mg. of unchanged I, 130 mg. of androsterone, 73 mg. of etiocholan-3(α)-ol-17-one and 6.5 mg. of D5-androstene-**3** (β), **17** (.**alpha.**)-**diol** were recovered from the urine. Two addnl. crystalline ketones and four nonketones were obtained in small amts. but not identified.

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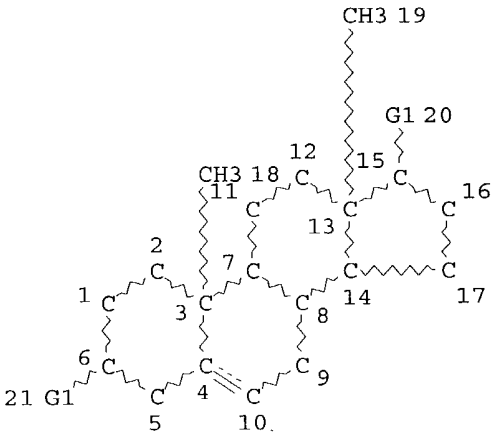
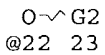
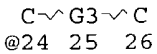
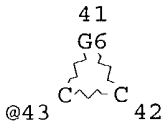
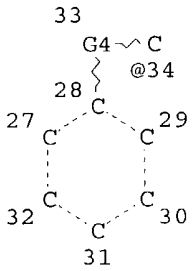
1 STR

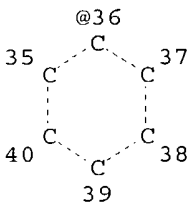


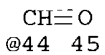


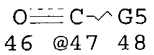












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VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE
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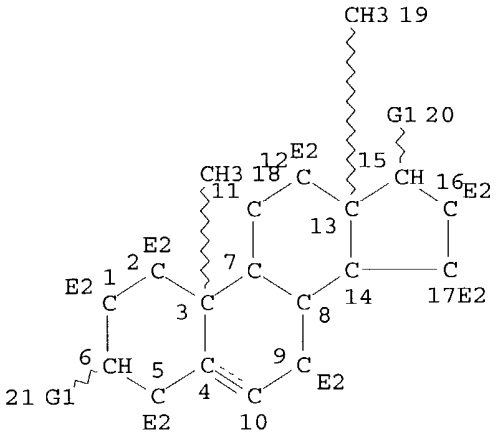
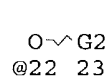
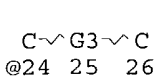
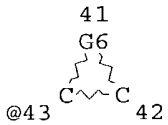
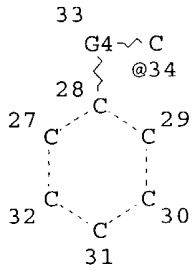
L6 STR

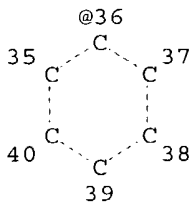


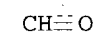


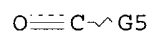












VAR G1=OH/22
VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43/44/47
REP G3=(3-6) C
REP G4=(0-4) C
VAR G5=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU/24/34/36/43
REP G6=(1-6) C
NODE ATTRIBUTES:
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HCOUNT IS E2 AT 2
HCOUNT IS E2 AT 5
HCOUNT IS E2 AT 9
HCOUNT IS E2 AT 12
HCOUNT IS E2 AT 16
HCOUNT IS E2 AT 17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE

L7 226 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8 26319 SEA FILE=REGISTRY ABB=ON PLU=ON CYCLODEXTR?
L9 1760 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
L10 27247 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR ?CYCLODEXTR?
L13 3 SEA FILE=REGISTRY ABB=ON PLU=ON 5-ANDROSTENE-3B,17.ALPHA
.-DIOL?/CN
L14 1998 SEA FILE=HCAPLUS ABB=ON PLU=ON (5(2W)?ANDROSTENE?)
L17 1153 SEA FILE=HCAPLUS ABB=ON PLU=ON 3(2W)BETA AND 17(W)ALPHA(2W)DI
OL?
L18 162 SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND L14

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L19              SEL  PLU=ON  L13 1- CHEM :       10 TERMS
L20           42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21          188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22           37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26           99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26
L28       106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
                 ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
                 ?THERAP?)
L29          232 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND L28
L30           85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PD=<APRIL 10, 1997
L31            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND (?PARENTER? OR
                 ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32          706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
                 1997
L34           15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L28
L35            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND PD=<APRIL 10, 1997
L36            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT (L31 OR L27 OR L33)
L37            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9(L)(TABLET OR CAPSULE)
L38            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 NOT (L31 OR L27 OR L33 OR
                 L36)
L39           13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (?PARENTER? OR
                 ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)
L40           12 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L39 NOT (L31 OR L27 OR L33 OR
                 L36 OR L38)
L42          175 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L21 AND PD=<APRIL 10, 1997
L43            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L42 AND (?PARENTER? OR
                 ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)) NOT (L31
                 OR L27 OR L33 OR L36 OR L38 OR L40)
L44            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42 AND (TABLET OR CAPSULE)
L45            0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 NOT (L31 OR L27 OR L33 OR
                 L36 OR L38 OR L40 OR L43)


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L1               STR
L5            3839 SEA FILE=REGISTRY SSS FUL L1
L6               STR
L7             226 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8           26319 SEA FILE=REGISTRY ABB=ON  PLU=ON  CYCLODEXTR?
L9            1760 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L10          27247 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR ?CYCLODEXTR?
L13              3 SEA FILE=REGISTRY ABB=ON  PLU=ON  5-ANDROSTENE-3B,17.ALPHA
                 .-DIOL?/CN
L14           1998 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (5(2W)?ANDROSTENE?)
L17           1153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  3(2W)BETA AND 17(W)ALPHA(2W)DI
                 OL?
L18            162 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND L14
L19              SEL  PLU=ON  L13 1- CHEM :       10 TERMS
L20           42 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21          188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR L18
L22           37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (L10 OR CARRIER)
L26           99 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L21
L27            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND L26
L28       106633 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (?TUMOR? OR ?CANCER? OR
                 ?NEOPLAS?)(5A)(?MEDIC? OR ?PHARM? OR ?DRUG? OR INHIBTOR OR
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L29          232 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND L28
L30           85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PD=<APRIL 10, 1997
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L31           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND (?PARENTER? OR
                ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROS?)
L32         706 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10(L)(TABLET OR CAPSULE)
L33           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28 AND L32 AND PD=<APRIL 10,
                1997
L34          15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L28
L35           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND PD=<APRIL 10, 1997
L36           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT (L31 OR L27 OR L33)
L37           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9(L)(TABLET OR CAPSULE)
L38           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 NOT (L31 OR L27 OR L33 OR
                L36)
L39          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (?PARENTER? OR
                ?BUCCAL? OR ?SUBLING? OR ?ENDOTRACH? OR ?AEROSOL?)
L40          12 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L39 NOT (L31 OR L27 OR L33 OR
                L36 OR L38)
L42         175 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L21 AND PD=<APRIL 10, 1997
L43           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L42 AND (?PARENTER? OR
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                L36 OR L38 OR L40 OR L43)


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L47  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2001:763027  HCAPLUS
DOCUMENT NUMBER:          135:318608
TITLE:                    Preparation of 8β-hydrocarbyl-substituted
                          estratrienes for use as selective estrogens
INVENTOR(S):              Peters, Olaf; Hillisch, Alexander; Thieme, Ina; Elger,
                          Walter; Hegele-Hartung, Christa; Kollenkirchen, Uwe;
                          Fritzemeier, Karl-Heinrich; Patchev, Vladimir
PATENT ASSIGNEE(S):       Schering Aktiengesellschaft, Germany
SOURCE:                   PCT Int. Appl., 90 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2001077139         A1    20011018    WO 2001-EP4290          20010412
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     DE 10019167           A1    20011018    DE 2000-10019167        20000412
     EP 1272504            A1    20030108    EP 2001-931609          20010412
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001009983         A     20030225    BR 2001-9983            20010412
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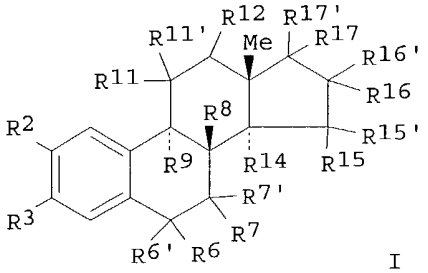
JP 2003534248	T2	20031118	JP 2001-575609		20010412
EE 200200589	A	20040415	EE 2002-589		20010412
BG 107173	A	20030530	BG 2002-107173		20021008
NO 2002004908	A	20021113	NO 2002-4908		20021011
US 2003176405	A1	20030918	US 2003-257288		20030401
PRIORITY APPLN. INFO.:			DE 2000-10019167	A	20000412
			US 2000-207370P	P	20000526
			WO 2001-EP4290	W	20010412

OTHER SOURCE(S): MARPAT 135:318608

GI



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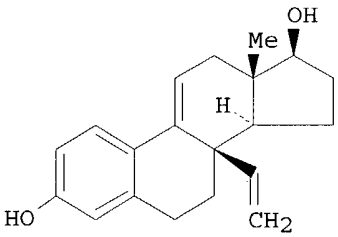

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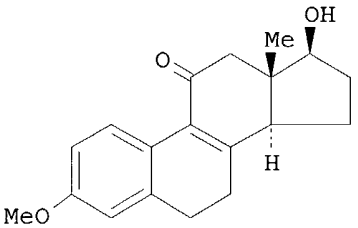

III

AB The invention relates to novel 8β-substituted estratrienes I [R2 = H, halogen, straight or branched (un)saturated C1-6-alkyl, alkoxy, CF3, sulfonamide; R3 = alkoxy, sulfonamide, acyloxy; R6, R7 = H; R6R7 = bond; R6', R7' = H, halogen, alkoxy, sulfonamide; R8 = a straight- or branched-chained, optionally partially or completely halogenated C1-5-alkyl, alkenyl, ethynyl, prop-1-ynyl; R9 = H, straight or branched (un)saturated C1-5-alkyl; R9R11 = bond; R11 = H; R11R12 = bond; R11' = H, halogen, a straight- or branched-chained, optionally partially or completely fluoro- or chloro-C1-4-alkyl, alkoxy, alkylthio; R12 = H; R14 = H; R14R15 = bond; R15 = H; R15R16 = bond; R15', R16' = H, halogen, alkoxy, sulfonamid; R16 = H; R17, R17' = H, H and halogen, H and OCH2Ph, H and sulfonamide, alkyl and acyl or acyloxy, alkoxy and alkyl, alkoxy and acyloxy; R17R17' = :CH2, :CR24R25;R24, R25 = halogen; R24R25 = O]. Thus, vinylestradiol II was prepared from estra-1,3,5(10)-tetraenone III in 8 steps. The inventive estratrienes are used as pharmaceutically active substances that have in vitro a higher affinity to estrogen receptor prepns. of rat prostate than to estrogen receptor prepns. of rat uterus and which in vivo preferably have a preferential effect on bone material as compared to uterus and/or a pronounced effect with respect to the stimulation of the expression of 5HT2a receptor and transporter. II showed a relative binding affinity for the estrogen receptor of 1 in rat uterus and of 83 in rat prostate. The invention further relates to the production of these novel compds., to their use in therapy and to the

pharmaceutical forms of administration that contain said novel compds. The invention further describes the use of said compds. for treating estrogen-deficiency related diseases and conditions and to the use of an 8β-substituted estratriene structural part in the overall structures of compds. that are characterized by a dissociation in favor of their estrogen effect on the bone as compared to the uterus.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L47 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

IT Pituitary gland, anterior lobe
(**neoplasm**, **medicaments**; preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 367929-04-2P, **3**-Methoxy-8β -vinylestra-1,3,5(10)-trien-17β-ol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 26199-45-1P, **3**-Methoxy-8β -methylestra-1,3,5(10)-trien-17β-ol 367264-86-6P 367264-89-9P 367929-00-8P, **3**-Methoxy-8β -methylestra-1,3,5(10),9(11)-tetraen-17β-ol 367929-09-7P, **3**-Methoxy-8β -vinyl-1,3,5(10)-trien-17α-ol 367929-14-4P, 3-Methoxy-17α-(trifluoromethyl)-8β-vinylestra-1,3,5(10)-trien-17β-ol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 3327-97-7P, 8β-Methylestra-1,3,5(10)-triene- **3**,17β -diol 367264-78-6P 367264-79-7P 367264-81-1P 367264-83-3P 367264-85-5P 367264-87-7P 367264-90-2P 367264-92-4P 367264-95-7P 367929-01-9P, 8β-Vinylestra-1,3,5(10),9(11)-tetraene-**3**,17β -ol 367929-02-0P 367929-03-1P 367929-07-5P, 8β-Methylestra-1,3,5(10),9(11)-tetraene- **3**,17β -diol 367929-08-6P, 8β-Ethyl-9β-estra-1,3,5(10)-triene-**3**,17β -ol 367929-10-0P, 8β-Vinyl-1,3,5(10)-triene-3, **17**α -**diol** 367929-11-1P, 17α-Trifluoromethyl-8β-vinylestra-1,3,5(10)-triene-**3**,17β -diol 367929-12-2P, 8β-Vinylestra-1,3,5(10)-triene-2, **3**,17β -triol 367929-15-5P 367929-16-6P 367929-17-7P 367929-18-8P 367929-19-9P 367929-20-2P 367929-21-3P 367929-22-4P 367929-23-5P 367929-24-6P 367929-25-7P 367929-26-8P 367929-27-9P 367929-28-0P 367929-29-1P 367929-30-4P 367929-31-5P 367929-32-6P 367929-33-7P 367929-34-8P, 8β-Vinyl-9β-estra-1,3,5(10)-triene- **3**,17β -diol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 50-27-1, Estriol 50-28-2, Estradiol, biological studies 53-16-7, Estrone, biological studies 57-91-0, 17α-Estradiol 446-72-0, Genistein 479-13-0, Coumestrol 521-17-5, **5**-

Androstenediol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 1478-53-1, Diethyl (difluoromethyl)phosphonate 17401-32-0 367929-13-3, **3**,17β -Bis[(tetrahydropyran-2-yl)oxy]-8β-vinylestra-1,3,5(10)-triene
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

IT 28990-61-6P, 8β-Formyl-3-methoxyestra-1,3,5(10),9(11)-tetraen-17β-ol 367264-68-4P 367264-69-5P 367264-70-8P 367264-71-9P 367264-72-0P 367264-73-1P 367264-74-2P 367264-75-3P 367264-76-4P 367264-77-5P 367264-80-0P 367264-82-2P 367264-84-4P 367264-88-8P 367264-91-3P 367264-93-5P 367264-94-6P 367264-96-8P 367279-41-2P 367929-05-3P, **3**-Methoxy-8β -vinylestra-1,3,5(10)-trien-17-one 367929-06-4P, **3**-Hydroxy-8β -vinylestra-1,3,5(10)-trien-17-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8β-hydrocarbyl-substituted estratrienes for use as selective estrogens)

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